# **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2558	(544/328,333).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/06/12 14:09

6/12/2006 2:10:03 PM Page 1

```
C:\Program Files\Stnexp\Queries\10781075.str
chain nodes :
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chain bonds :
    3-9 4-11 6-7 9-10
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
    1-2 1-6 2-3 3-4 4-5 4-11 5-6 6-7 9-10
exact bonds :
    3-9
isolated ring systems :
    containing 1 :
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 10:CLASS 11:Atom
Generic attributes :
    7:
    Saturation
                          : Unsaturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : less than 2
    Type of Ring System
                       : Monocyclic
Element Count :
   Node 7: Limited
       C,C5
       N,N1
       0,00
       S,S0
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7 9 10 11

1 2 3 4 5 6

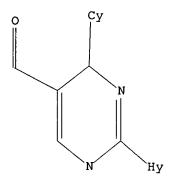
ring nodes :

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=>
Uploading C:\Program Files\Stnexp\Queries\10781075.str
0
                                      10
           N
                    Ήу
chain nodes :
7 9 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
3-9 4-11 6-7 9-10
ring bonds :
1-2 1-6 2-3 3-4
                   4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-11 5-6 6-7 9-10
exact bonds :
3-9
isolated ring systems :
containing 1:
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:CLASS 10:CLASS 11:Atom
Generic attributes :
7:
Saturation
                      : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System : Monocyclic
Element Count :
Node 7: Limited
   C,C5
   N,N1
   0,00
    S,SO
L1
       STRUCTURE UPLOADED
=> d 11
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L1 HAS NO ANSWERS

STR

L1



Structure attributes must be viewed using STN Express query preparation.

4 ANSWERS

=> s 11 sss sam

SAMPLE SEARCH INITIATED 12:53:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9794 TO ITERATE

20.4% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 189949 TO 201811

PROJECTED ANSWERS: 126 TO 65

L2 4 SEA SSS SAM L1

=> => s l1 sss ful

FULL SEARCH INITIATED 12:53:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 199561 TO ITERATE

100.0% PROCESSED 199561 ITERATIONS 597 ANSWERS

SEARCH TIME: 00.00.03

L3 597 SEA SSS FUL L1

=> => s 13

L4 31 L3

=> d 14 1-31 bib, ab, hitstr

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ANSWER 1 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
        2006:75171
                           CAPLUS
AN
DN
        144:150383
        Preparation of N-(indazol-5-yl)-5-pyrimidinecarboxamides derivatives as
TI
        inhibitors of Rho-kinases
IN
        Sehon, Clark A.; Lee, Dennis; Goodman, Krista B.; Wang, Gren Z.; Viet,
        Andrew Q.
PΑ
        SmithKline Beecham Corporation, USA
SO
        PCT Int. Appl., 72 pp.
        CODEN: PIXXD2
DT
        Patent
LΑ
        English
FAN.CNT 1
        PATENT NO.
                                          KIND
                                                      DATE
                                                                         APPLICATION NO.
                                                                                                                DATE
        WO 2006009889
PΤ
                                           A1
                                                     20060126
                                                                         WO 2005-US21559
                     AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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                     ZA, ZM, ZW
              RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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                     CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
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                                                TM/
                                                    20040617
PRAI US 2004-580423P
                                           Ρ
os
       MARPAT 144:150383
        Title compds. I [R8 = NH2 and derivs., (un) substituted hetero/aryl,
AB
        cycloalkyl, etc.; R9, R10 = independently absent, H, alkyl; R1 =
        cyclo/alkyl, (un)substituted alkyl/hetero/aryl; R2 = H, alkyl; R6 = H; R4,
        R5, R7 = independently H, halo, alkyl; and their pharmaceutically
        acceptable salts and solvates] were prepared as Rho-kinase, particularly
        ROCK1 kinase, inhibitors. Thus, reacting 4-(methyloxy)benzenecarboximidam
        ide (preparation given) with 4-fluorobenzaldehyde and N-(1H-indazol-5-yl)-3-
        oxobutanamide in the presence of KOAc in DMSO at 100° for 3 h gave
        II in 32% yield. Most of the pyrimidines I were active inhibitors of
        ROCK1 kinase at concns. in the range of 10 \mu M to 0.8\mu M. I are
       useful for treating hypertension, Alzheimer's disease, chronic and
        congestive heart failure and ischemic angina (no data).
IΤ
        874118-88-4P, 4-(4-Chloro-2-fluorophenyl)-N-(1H-indazol-5-yl)-6-
       methyl-2-[2-(methyloxy)-4-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
        874119-12-7P, 4-(4-Fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-(2-
       pyridinyl)-1,4-dihydro-5-pyrimidinecarboxamide 874119-13-8P,
        4-(4-Fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-[6-(trifluoromethyl)-3-
       pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide 874119-16-1P,
        4-(4-Fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-(3-pyridinyl)-1,4-
        dihydro-5-pyrimidinecarboxamide 874119-20-7P,
        4-(4-Fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-(4-pyridinyl)-1,4-
        dihydro-5-pyrimidinecarboxamide 874119-21-8P,
        4-(4-Fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-(1-oxido-2-pyridinyl)-
        1,4-dihydro-5-pyrimidinecarboxamide 874119-28-5P,
       N-(1H-Indazol-5-yl)-4-methyl-2-(4-pyridinyl)-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluoromethyl)phenyl]-6-[4-(trifluorometh
        1,6-dihydro-5-pyrimidinecarboxamide 874119-29-6P,
       N-(1H-Indazol-5-yl)-4-methyl-6-(5-phenyl-2-thienyl)-2-(4-pyridinyl)-1,6-
        dihydro-5-pyrimidinecarboxamide 874119-36-5P,
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4-(1,3-Benzodioxol-5-yl)-N-(1H-indazol-5-yl)-6-methyl-2-(4-pyridinyl)-1,4-
dihydro-5-pyrimidinecarboxamide 874119-37-6P,
4-[2-Fluoro-4-(trifluoromethyl)phenyl]-N-(1H-indazol-5-yl)-6-methyl-2-(4-
pyridinyl)-1,4-dihydro-5-pyrimidinecarboxamide 874119-38-7P,
4-(4-Chloro-2-fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-(4-pyridinyl)-
1,4-dihydro-5-pyrimidinecarboxamide 874119-49-0P,
4-(4-Chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-N-(1H-indazol-5-yl)-6-
methyl-1,4-dihydro-5-pyrimidinecarboxamide 874119-50-3P
874119-51-4P, 2-(2-Chloro-4-pyridinyl)-4-[2-fluoro-4-
(trifluoromethyl)phenyl]-N-(1H-indazol-5-yl)-6-methyl-1,4-dihydro-5-
pyrimidinecarboxamide 874119-56-9P, 4-(4-Chloro-2-fluorophenyl)-
2-(2-chloro-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-1,4-dihydro-
5-pyrimidinecarboxamide 874119-57-0P, 4-(4-Chloro-2-
fluorophenyl)-N-(1H-indazol-5-yl)-6-methyl-2-[6-(methyloxy)-3-pyridinyl]-
1,4-dihydro-5-pyrimidinecarboxamide 874119-58-1P,
4-(4-Chloro-2-fluorophenyl)-2-(2,6-dichloro-4-pyridinyl)-N-(1H-indazol-5-
yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide 874119-60-5P,
4-(4-Chloro-2-fluorophenyl)-2-[2-[(4-chlorophenyl)oxy]-4-pyridinyl]-N-(1H-
indazol-5-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide
874119-65-0P, 2-(2-Chloro-4-pyridinyl)-4-(4-fluorophenyl)-N-(1H-
indazol-5-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide
874119-66-1P, 4-(1,3-Benzodioxol-5-yl)-2-(2-chloro-4-pyridinyl)-N-
(1H-indazol-5-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide
874119-69-4P, 2-(2-Chloro-4-pyridinyl)-4-cyclopropyl-N-(1H-indazol-
5-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide 874119-75-2p,
4-(1,3-Benzodioxol-5-yl)-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-2-[2-
(methyloxy)-4-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-76-3P 874119-77-4P, N-(6-Fluoro-1H-indazol-5-yl)-
4-[2-fluoro-4-(trifluoromethyl)phenyl]-6-methyl-2-[2-(methyloxy)-4-
pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide 874119-78-5P,
4-(4-Chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-2-[2-
(methyloxy)-4-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-79-6P, N-(6-Fluoro-1H-indazol-5-yl)-4-(4-fluorophenyl)-6-
methyl-2-[2-(methyloxy)-4-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-80-9P, N-(6-Fluoro-1H-indazol-5-yl)-4-(4-fluorophenyl)-6-
methyl-2-[6-(methyloxy)-3-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-81-0P, 4-(4-Chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-
N-(6-fluoro-1H-indazol-5-yl)-1,6-dimethyl-1,4-dihydro-5-
pyrimidinecarboxamide 874119-82-1P, 4-(1,3-Benzodioxol-5-yl)-N-
(6-fluoro-1H-indazol-5-yl)-6-methyl-2-[6-(methyloxy)-3-pyridinyl]-1,4-
dihydro-5-pyrimidinecarboxamide 874119-83-2P
874119-84-3P, N-(6-Fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-
(trifluoromethyl)phenyl]-6-methyl-2-[6-(methyloxy)-3-pyridinyl]-1,4-
dihydro-5-pyrimidinecarboxamide 874119-85-4P,
4-(4-Chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-2-[6-
(methyloxy) -3-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-86-5P, 4-(4-Chlorophenyl)-2-(2-chloro-4-pyridinyl)-N-(6-
fluoro-1H-indazol-5-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide
874119-87-6P, 4-(4-Chlorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-6-
methyl-2-[2-(methyloxy)-4-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-88-7P, 4-(4-Chlorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-6-
methyl-2-[6-(methyloxy)-3-pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide
874119-89-8P, N-(3-Chloro-6-fluoro-1H-indazol-5-yl)-4-(4-chloro-2-
fluorophenyl)-2-(2-chloro-4-pyridinyl)-6-methyl-1,4-dihydro-5-
pyrimidinecarboxamide 874119-90-1P, N-(3-Chloro-6-fluoro-1H-
indazol-5-yl)-4-(4-chloro-2-fluorophenyl)-6-methyl-2-[2-(methyloxy)-4-
pyridinyl]-1,4-dihydro-5-pyrimidinecarboxamide 874119-94-5P,
4-(4-Chlorophenyl)-2-[2-(ethyloxy)-4-pyridinyl]-N-(6-fluoro-1H-indazol-5-
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yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide 874119-95-6P, 2-[2-(Ethyloxy)-4-pyridinyl]-N-(6-fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide 874119-96-7P, 4-(4-Chloro-2-fluorophenyl)-2-[2-(ethyloxy)-4pyridinyl]-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-1,4-dihydro-5pyrimidinecarboxamide 874119-97-8P, 4-(4-Chlorophenyl)-N-(6fluoro-1H-indazol-5-yl)-6-methyl-2-(2-methyl-4-pyridinyl)-1,4-dihydro-5pyrimidinecarboxamide 874119-98-9P, N-(6-Fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-6-methyl-2-(2-methyl-4-pyridinyl)-1,4-dihydro-5-pyrimidinecarboxamide 874119-99-0P, 4-(4-Chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-6-methyl-2-(2methyl-4-pyridinyl)-1,4-dihydro-5-pyrimidinecarboxamide **874120-01-1P**, 4-(4-Chlorophenyl)-2-(2-chloro-4-pyridinyl)-6-methyl-N-(3-methyl-1H-indazol-5-yl)-1,4-dihydro-5-pyrimidinecarboxamide 874120-02-2P, 4-(4-Chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-6-methyl-N-(3-methyl-1H-indazol-5-yl)-1,4-dihydro-5-pyrimidinecarboxamide **374120-03-3P,** 2-(2-Chloro-4-pyridinyl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-6-methyl-N-(3-methyl-1H-indazol-5-yl)-1,4-dihydro-5-pyrimidinecarboxamide 874120-04-4P, N-(3-Chloro-1H-indazol-5yl)-4-(4-chlorophenyl)-2-(2-chloro-4-pyridinyl)-6-methyl-1,4-dihydro-5pyrimidinecarboxamide 874120-05-5P, 4-(4-Chloro-2-fluorophenyl)-N-(3-chloro-1H-indazol-5-yl)-2-(2-chloro-4-pyridinyl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of indazolyl-pyrimidinecarboxamides derivs. as ROCK1 kinase inhibitors) 874118-88-4 CAPLUS 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-1,4-dihydro-N-1H-

RN

CN

RN 874119-12-7 CAPLUS
CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

indazol-5-yl-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-13-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-[6-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 874119-16-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N &$$

RN 874119-20-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-21-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-28-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(4-pyridinyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 874119-29-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-N-1H-indazol-5-yl-6-methyl-4-(5-phenyl-2-thienyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-36-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-37-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-38-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-49-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-50-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-chloro-4-pyridinyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 874119-51-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-chloro-4-pyridinyl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-N-1H-indazol-5-yl-6-methyl-(9CI)(CA INDEX NAME)

RN 874119-56-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-57-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-2-(6-methoxy-3-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-58-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2,6-dichloro-4-pyridinyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-60-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-[2-(4-chlorophenoxy)-4-pyridinyl]-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-65-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-chloro-4-pyridinyl)-4-(4-fluorophenyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-66-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-69-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-chloro-4-pyridinyl)-4-cyclopropyl-1,4-dihydro-N-1H-indazol-5-yl-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-75-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-76-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-lH-indazol-5-yl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 874119-77-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl-(9CI) (CA INDEX NAME)

RN 874119-78-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-79-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-4-(4-fluorophenyl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-80-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-4-(4-fluorophenyl)-

1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-81-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-1,6-dimethyl- (9CI) (CA INDEX NAME)

RN 874119-82-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-83-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 874119-84-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl-(9CI) (CA INDEX NAME)

RN 874119-85-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-86-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-2-(2-chloro-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-87-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-88-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-2-(6-methoxy-3-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-89-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(3-chloro-6-fluoro-1H-indazol-5-yl)-4-(4-chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-90-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(3-chloro-6-fluoro-1H-indazol-5-yl)-4-(4-chloro-2-fluorophenyl)-1,4-dihydro-2-(2-methoxy-4-pyridinyl)-6-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{N} & \text{Me} \\ \text{N} & \text{HN} & \text{C} \\ \text{N} & \text{F} & \text{N} \\ \text{C1} & \text{N} \end{array}$$

RN 874119-94-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-2-(2-ethoxy-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-95-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-ethoxy-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-96-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2-ethoxy-4-pyridinyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874119-97-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl-2-(2-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874119-98-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(6-fluoro-1H-indazol-5-yl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-2-(2-methyl-4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 874119-99-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-N-(6-fluoro-1H-indazol-5-yl)-1,4-dihydro-6-methyl-2-(2-methyl-4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 874120-01-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chlorophenyl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-6-methyl-N-(3-methyl-1H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 874120-02-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-6-methyl-N-(3-methyl-1H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 874120-03-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-chloro-4-pyridinyl)-4-[2-fluoro-4-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-N-(3-methyl-1H-indazol-5-yl)-(9CI) (CA INDEX NAME)

RN 874120-04-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(3-chloro-1H-indazol-5-yl)-4-(4-chlorophenyl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 874120-05-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-chloro-2-fluorophenyl)-N-(3-chloro-1H-indazol-5-yl)-2-(2-chloro-4-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & Me & C \\ N & HN & C \\ \end{array}$$

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/781,075

- L4 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2005:549539 CAPLUS
- DN 143:188635
- TI A heteroaryldihydropyrimidine activates and can misdirect hepatitis B virus capsid assembly
- AU Stray, Stephen J.; Bourne, Christina R.; Punna, Sreenivas; Lewis, Warren G.; Finn, M. G.; Zlotnick, Adam
- CS Department of Biochemistry and Molecular Biology BRC 464, University of Oklahoma Health Sciences Center, Oklahoma City, OK, 73190, USA
- Proceedings of the National Academy of Sciences of the United States of America (2005), 102(23), 8138-8143 CODEN: PNASA6; ISSN: 0027-8424
- PB National Academy of Sciences
- DT Journal
- LA English
- AB Heteroaryldihydropyrimidines (HAPs) are a new class of antivirals inhibiting production of hepatitis B virus (HBV) virions in tissue culture. Here, we examine the effect of a representative HAP mol., Me 4-(2-chloro-4-fluorophenyl)-6-methyl-2-(pyridin-2-yl)-1,4dihydropyrimidine-5-carboxylate (HAP-1), on the in vitro assembly of HBV capsid protein (Cp). HAP-1 enhances the rate and extent of Cp assembly over a broad concentration range. Aberrant particles, dominated by hexagonal arrays of Cp, were observed from assembly reactions with high HAP-1 concns. HAP-1 also led to dissociation of metastable HBV capsids, overcoming a kinetic barrier to dissociation by scavenging Cp and redirecting its assembly into hexamer-rich structures. Thus, HAP drugs act as allosteric effectors that induce an assembly-active state and, at high concentration, preferentially stabilize noncapsid polymers of Cp. HAP compds. may have multiple effects in vivo stemming from inappropriate assembly of Cp. These results show that activating and deregulating virus assembly may be a powerful general approach for antiviral therapeutics.
- IT 862097-09-4

RL: BSU (Biological study, unclassified); BIOL (Biological study) (heteroaryldihydropyrimidine activates and can misdirect hepatitis B virus capsid assembly)

- RN 862097-09-4 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
ΑN
     2005:29315
                 CAPLUS
     142:114092
DN
     Preparation of pyrimidines as modulators of voltage-gated ion channels
ΤI
     Wilson, Dean Mitchell; Martinborough, Esther; Neubert, Timothy Donald;
IN
     Termin, Andreas Peter; Gonzales, Jesus E., III; Zimmermann, Nicole
PA
     Vertex Pharmaceuticals Incorporated, USA
SO
     PCT Int. Appl., 195 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
                                  DATE
     PATENT NO.
                          KIND
                                               APPLICATION NO.
                                                                        DATE
PΙ
     WO 2005003099
                           A2
                                  20050113
                                               WO 2004-US21440
                                                                        20040702
     WO 2005003099
                           A3
                                 20050512
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, PL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, EF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
                           A1
     AU 2004253962
                                  20050113
                                               AU 2004-253962
                                                                        20040702
     CA 2531061
                           ÅΆ
                                  20050113
                                               CA 2004-2531061
                                                                        20040702
     US 2005049247
                           A1
                                  20050303
                                               ÜS 2004-884865
                                                                        20040702
                                  20060329
                                              #EP 2004-777512
     EP 1638955
                           A2
                                                                        20040702
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRAI US 2003-484362P
                           Р
                                  20030702
     US 2003-500200P
                           P
                                  20030904
     WO 2004-US21440
                           W
                                  20040702/
OS
     MARPAT 142:114092
     The title compds. [I; R5 = COR3, CO2R3, or R5'; R5' = CONH2 and derivs.,
AB
     SO2H and derivs., CON(CN)H and derivs., etc.; R1, R2 = independently H,
     (un) substituted aliphatic, 5-6-membered aryl ring containing 0-5 heteroatoms,
or
     a 3-7-membered saturated or partially unsatd. ring containing 0-3 heteroatoms;
or
     R1NR2 = (un)substituted 3-8-membered heterocyclyl or heteroaryl containing 1-3
     heteroatoms; A = (un)substituted 5-6-membered aryl ring, or 8-10-membered
     bicyclic aryl ring containing 0-5 heteroatoms, or a 3-7-membered saturated or
     partially unsatd. ring containing 0-3 heteroatoms; R4 = Q-Rx; Q = a bond,
     alkylidene chain wherein up to two non-adjacent methylene units of Q are
     optionally replaced by CO, CO2, COCO, CONH and derivs., SO, SO2, O, S, NH
     and derivs., etc. with proviso; and their pharmaceutically acceptable
     salts], useful as inhibitors of voltage-gated sodium channels and calcium
     channels, were prepared Thus, Pd-cross coupling of 5-ethoxycarbonyl-2-
     chloro-4-(N,N-dimethylamino)pyrimidine (preparation given) with phenylboronic
     acid gave II in 92% yield. Representative compds. I were found to possess
     desired N-type calcium channel modulation activity and selectivity (no
     specific data given). Also, representative compds. I were found to
     possess desired voltage gated sodium channel activity and selectivity (no
     specific data given). The invention also provides pharmaceutically
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acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 823792-47-8P 823792-81-0P 823792-84-3P

823793-09-5P 823793-34-6P 823794-30-5P

823794-63-4P 823795-01-3P 823795-32-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidines as modulators of voltage-gated ion channels)

RN 823792-47-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-methyl-1-piperazinyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 823792-81-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(1-piperidinyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 823792-84-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-pyridinyl)-4-(1-pyrrolidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN823793-09-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-methyl-1-piperazinyl)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

823793-34-6 CAPLUS

RN5-Pyrimidinecarboxylic acid, 4-(1-piperidinyl)-2-(2-pyridinyl)-, ethyl CN ester (9CI) (CA INDEX NAME)

RN 823794-30-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-pyridinyl)-4-(1-pyrrolidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 823794-63-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-pyridinyl)-4-(1-pyrrolidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 823795-01-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-methyl-1-piperazinyl)-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 823795-32-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(1-piperidinyl)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

```
ANSWER 4 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
AN
     2003:947710 CAPLUS
     140:4777
DN
     Methods of preparation of solid phase bound dialkylaminopropenoate and
TΙ
     dialkylaminopropenone intermediates useful in the microwave-assisted
     synthesis of heterocycles
IN
     Westman, Jacob; Lundin, Ronny
PA
     Personal Chemistry I Uppsala AB, Swed.
SO
     Eur. Pat. Appl., 17 pp.
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                            KIND
                                                 APPLICATION NO.
                                                                            DATE
PΙ
                                    20031203
                                                 EP 2002-445069
     EP 1367045
                             Α1
                                                                            20020531
            AT, BE, CH, DE, DK,
                                    ES, FR,
                                              ĠB, GR, IT, LI, LU, NL, SE, MC, PT,
                                              CY, AL, TR
              IE, SI, LT, LV, Ft, RO, MK,
                                    20031211
                                                 CA 2003-2487659
     CA 2487659
                             AA
                                                                            20030522
                                    20031211
     WO 2003101933
                             A1
                                                 WO 2003-SE827
                                                                            20030522
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
              TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, /TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
              GH, GM, KE, LD, FW, LD, FW, LD, CY, CZ, DE, DK, LD, KG, KZ, MD, RU, TJ, /TM, AT, BE, BG, CH, CY, CZ, DE, DK, LD, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20030522
     AU 2003228199
     EP 1509491
                                   20050302
                                                 EP 2003-725965
                             A1
                                                                            20030522
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK
     JP 2005528437
                             Т2
                                    20050922
                                                 JP 2004-509627
                                                                            20030522
     US 2004005637
                             A1
                                    20040108
                                                 US 2003-448060
                                                                            20030530
     US 7019094
                             B2
                                    20060328
     US 2006041095
                            A1
                                    20060223
                                                 US 2005-244097
                                                                            20051006
PRAI EP 2002-445069
                             Α
                                    20020531
     US 2002-384133P
                             Ρ
                                    20020531
     WO 2003-SE827
                             W
                                    20030522
     US 2003-448060
                             A3
                                   20030530/
OS
     CASREACT 140:4777; MARPAT 140:4777
     Novel solid-supported intermediate products I [wherein (a) when coupled to
AΒ
     the polymeric support through R1, the R-groups are defined as follows: R1
     = independently (cyclo)alkyl, heterocyclyl, or benzyl; or N(R1)2 =
     heterocyclyl; R2 = H or alkyl; R4 = (un)substituted (hetero)aryl or OR5;
     when R4 = (un) substituted (hetero) aryl, then R3 = H, alkyl,
     (un) substituted (hetero) aryl, or CO2R5; when R4 = OR5, then R3 = CN,
     CO2R5, NHCOR5, NHCO2R5, or COR5; R5 = independently H, alkyl, benzyl, or
     (un) substituted (hetero) aryl; or (b) when coupled to the polymeric support
     through R4, the R-groups are defined as follows: R1 and R2 = as above; R3
     = as above except CO2R5 and COR5; R4 = OR5; R5 = as above], which are
     suitable for synthesis of heterocyclic compds., are disclosed. Methods
     for preparing I, as well as their use in simple and fast methods on solid
     phase for microwave-assisted synthesis of heterocycles, are also
     disclosed. The solid phase technique allows for easy automation and
     eliminates time-consuming purification steps, and microwave-assisted heating
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shortens reaction times substantially. Heterocyclic compds. may be produced in high yield with high purity using these methods. For example, Merrifield resin was swelled in DMF, N-benzoylglycine loaded in the presence of Cs2CO3, and the reaction mixture heated at 200° for 10 min to give the coupled product (80%). The solid-supported N-benzoylglycine benzyl ester was heated with DMF di-Et acetal at 180° for 10 min to afford the resin-bound dimethylaminopropenoate (no data). Exposure of the resin-bound dimethylaminopropenoate and 5,5-dimethyl-1,3-cyclohexanedione in AcOH to microwave heating at 180° for 10 min provided 3-(benzoylamino)-5-oxo-5,6,7,8-tetrahydro-2H-1-benzopyran-2-one (95% yield, 98% purity).

IT 103294-37-7P, Ethyl 2-(4-pyridyl)-4-(4-nitrophenyl)pyrimidine-5-carboxylate

RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of Merrifield resin-bound dialkylaminopropenoate and dialkylaminopropenone intermediates useful in microwave-assisted synthesis of heterocycles)

RN 103294-37-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-nitrophenyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2003:92030 CAPLUS
- DN 139:62661
- TI Inhibition of Hepatitis B Virus Replication by Drug-Induced Depletion of Nucleocapsids
- AU Deres, Karl; Schroeder, Claus H.; Paessens, Arnold; Goldmann, Siegfried; Hacker, Hans Joerg; Weber, Olaf; Kraemer, Thomas; Niewoehner, Ulrich; Pleiss, Ulrich; Stoltefuss, Juergen; Graef, Erwin; Koletzki, Diana; Masantschek, Ralf N. A.; Reimann, Anja; Jaeger, Rainer; Gro, Rainer; Beckermann, Bernhard; Schlemmer, Karl-Heinz; Haebich, Dieter; Ruebsamen-Waigmann, Helga
- CS Dep. Virology, Bayer Res. Center, Wupertal, Germany
- SO Science (Washington, DC, United States) (2003), 299(5608), 893-896 CODEN: SCIEAS; ISSN: 0036-8075
- PB American Association for the Advancement of Science
- DT Journal
- LA English
- AB Chronic hepatitis B virus (HBV) infection is a major cause of liver disease. Only interferon- $\alpha$  and the nucleosidic inhibitors of the viral polymerase, 3TC and adefovir, are approved for therapy. However, these therapies are limited by the side effects of interferon and the substantial resistance of the virus to nucleosidic inhibitors. Potent new antiviral compds. suitable for monotherapy or combination therapy are highly desired. We describe non-nucleosidic inhibitors of HBV nucleocapsid maturation that possess in vitro and in vivo antiviral activity. These inhibitors have potential for future therapeutic regimens to combat chronic HBV infection.
- IT 246872-58-2, Bay 38-7690 298708-81-3, Bay 41-4109
  RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
  (Biological study); USES (Uses)

(inhibition of hepatitis B virus replication by drug-induced depletion of nucleocapsids)

- RN 246872-58-2 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

- RN 298708-81-3 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX

## NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 6 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ΑN
     2002:906192 CAPLUS
     138:4607
DN
ΤI
     Method for resolution of the enantiomers of methyl 4-(2-chloro-4-
     fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-methyl-1,4-dihydro-5-
     pyrimidinecarboxylate racemate using (-)-camphanic acid
IN
     Goldmann, Siegfried; Fey, Peter
     Bayer Aktiengesellschaft, Germany
PA
                                                              Common Als
SO
     PCT Int. Appl., 10 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LA
FAN.CNT 1
                                   DATE
     PATENT NO.
                           KIND
                                                APPLICATION NO.
                                                                           DATE
PΙ
     WO 2002094807
                            A1
                                   20021128
                                                WO 2002-EP5339
                                                                           20020515
         W: AE, AG, AL, AM, AT/, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     DE 10125131
                            A1
                                    20021205
                                                DÈ\2001-10125131
                                                                           20010523
                                                EP 2002-740603
     EP 1395573
                                   /2:0040310
                                                                           20020515
                            A1
     EP 1395573
                                   20050921
                            В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1531532
                            А
                                   20040922
                                                CN 2002-810454
                                                                           20020515
                                                ES 2002-2740603
     ES 2249591
                            Т3
                                   20060401
                                                                           20020515
     US 2004242878
                            A1
                                   20041202
                                                ซึร 2004–478602
                                                                           20040715
     US 6987185
                            B2
                                   20060117
                                                                             ODP
PRAI DE 2001-10125131
                                   20010523
                            Α
     WO 2002-EP5339
                            W
                                   20020515
AB
     The enantiomers of Me 4-(2-chloro-4-fluorphenyl)-2-(3,5-difluoro-2-
     pyridinyl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxylate can be separated with
     the aid of (-)-camphanic acid followed by neutralization of the
     diastereomeric salt with a weak base (e.g., sodium carbonate).
IT
     298708-81-3P
     RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP
      (Preparation)
         (method for resolution of racemic Me 4-(2-chloro-4-fluorophenyl)-2-(3,5-
        difluoro-2-pyridinyl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxylate
        using (-)-camphanic acid)
RN
     298708-81-3 CAPLUS
CN
     5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
     pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX
     NAME)
```

Absolute stereochemistry. Rotation (-).

## IT 298708-79-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(method for resolution of racemic Me 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxylate using (-)-camphanic acid)

RN 298708-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

#### IT 476617-50-2P 476617-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(method for resolution of racemic Me 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxylate using (-)-camphanic acid)

RN 476617-50-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)-, mono[(1S,4R)-4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]heptane-1-carboxylate] (9CI) (CA INDEX NAME)

CM 1

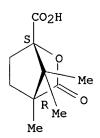
CRN 298708-81-3 CMF C18 H13 C1 F3 N3 O2

Absolute stereochemistry. Rotation (-).

CM 2

CRN 13429-83-9 CMF C10 H14 O4

Absolute stereochemistry. Rotation (-).



RN 476617-52-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4S)-, mono[(1S,4R)-4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]heptane-1-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 476617-51-3

CMF C18 H13 C1 F3 N3 O2

Absolute stereochemistry.

CM 2

CRN 13429-83-9 CMF C10 H14 O4

Absolute stereochemistry. Rotation (-).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
      ANSWER 7 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
      2002:868695 CAPLUS
AN
DN
      137:352786
TI
      Preparation of substituted N'-(arylcarbonyl)benzhydrazides and
      N'-(benzylidene)benzhydrazides and analogs as activators of caspases and
      inducers of apoptosis for use as antitumor agents
IN
      Cai, Sui Xiong; Kasibhatla, Shailaja; Drewe, John; Reddy, P. Sanjeeva;
      Zhang, Han-Zhong
      Cytovia, Inc., USA
PΑ
      PCT Int. Appl., 80 pp.
SO
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
      PATENT NO.
                              KIND/
                                      DATE
                                                     APPLICATION NO.
                                                                                 DATE
PΙ
      WO 2002089745
                               A2/
                                       20021114
                                                     WO 2002-US14722
                                                                                 20020510
      WO 2002089745
                               АĴ
                                       20030227
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      US 2003013743
                               A1
                                       20030116
                                                     ŲS 2002−141769
                                                                                 20020510
      US 6716859
                               B2
                                     [20040406
                                      20040303
      EP 1392219
                               A2
                                                     EP 2002-731739
                                                                                 20020510
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      US 2004186078
                               A1
                                      20040923
                                                     ÚS 2004-816893
                                                                                 20040405
PRAI US 2001-289803P
                               Ρ
                                       20010510
      US 2002-141769
                               А3
                                       20020510
      WO 2002-US14722
                               W
                                       20020510
OS
      MARPAT 137:352786
      The present invention is directed to substituted N'-
AB
      (arylcarbonyl)benzhydrazides, N'-(arylcarbonyl)benzylidene hydrazides and
      analogs thereof, represented by Ar1C(0)NR2NR1C(0)Ar2 and
      Ar1C(0)NR2N:CR1Ar2 (e.g. N'-(2-phenoxypyridine-3-carbonyl)-3-
      (trifluoromethyl)benzhydrazide (1)): wherein Arl is optionally substituted
      pyridyl, pyrimidinyl or phenyl; Ar2 is optionally substituted aryl or
      heteroaryl; and R1 and R2 are independently H, alkyl or cycloalkyl; with
      the proviso that said compound is other than 4-hydroxybenzoic acid
      (2-hydroxybenzylidene)hydrazide. The present invention also relates to
      the discovery that these compds. are activators of caspases and inducers
      of apoptosis and therefore may be used to induce cell death in a variety
      of clin. conditions in which uncontrolled growth and spread of abnormal
      cells occurs. Although the methods of preparation are not claimed, 42 example
      prepns. are included. Compound 1 and analogs were identified as caspase
      cascade activators and inducers of apoptosis in solid tumor cells and as
      antineoplastic compound that inhibits cell proliferation (GI50). Treatment
      with 1 leads to cell cycle arrest and apoptosis in T-47D cells. Compound 1
      and analogs were identified as antineoplastic compound that selectively
      inhibits the proliferation of breast cancer cells (GI50). Compound 1 was
      also found to inhibit the clonogenic survival of T47D and MX-1 solid tumor
      cell lines.
```

IT 474973-54-1P, 4-(Pyridin-4-yl)-2-(pyridin-2-yl)pyrimidine-5carboxylic acid (3-trifluoromethylbenzylidene)hydrazide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of substituted N'-(arylcarbonyl)benzhydrazides and N'-(benzylidene)benzhydrazides and analogs as activators of caspases and inducers of apoptosis for use as antitumor agents)

RN 474973-54-1 CAPLUS

CN

5-Pyrimidinecarboxylic acid, 2-(2-pyridinyl)-4-(4-pyridinyl)-, [[3-(trifluoromethyl)phenyl]methylene]hydrazide (9CI) (CA INDEX NAME)

$$F_{3}C$$

$$CH = N - NH - C$$

$$N$$

$$N$$

$$N$$

$$N$$

IT 400077-54-5, 4-(Pyridin-4-yl)-2-(pyridin-2-yl)pyrimidine-5-carboxylic acid hydrazide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted N'-(arylcarbonyl)benzhydrazides and N'-(benzylidene)benzhydrazides and analogs as activators of caspases and inducers of apoptosis for use as antitumor agents)

RN 400077-54-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-pyridinyl)-4-(4-pyridinyl)-, hydrazide (9CI) (CA INDEX NAME)

## 10/781,075

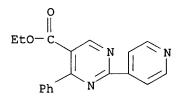
- L4 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2002:846120 CAPLUS
- DN 138:271628
- TI Alkylaminopropenones and alkylamino-propenoates as efficient and versatile synthons in microwave-assisted combinatorial synthesis
- AU Westman, J.; Lundin, R.; Stalberg, J.; Ostbye, M.; Franzen, A. Hurynowicz, A.
- CS Personal Chemistry AB, Uppsala, 753 18, Swed.
- SO Combinatorial Chemistry and High Throughput Screening (2002), 5(7), 565-570

  CODEN: CCHSFU; ISSN: 1386-2073
- PB Bentham Science Publishers
- DT Journal
- LA English
- OS CASREACT 138:271628
- AB A simple and fast one-pot method using microwave irradiation for the synthesis of a number of small libraries of diverse heterocycles is described in this paper. The two-step one-pot method includes the formation of alkylaminopropenones or alkylaminopropenoates (using 1,1-diethoxy-N,N-dimethylmethanamine) in 5 min at 180°C and a subsequent treatment with dinucleophiles for 3 to 5 min at 150°C to 180°C to form a variety of biol. interesting heterocycles in a cascade-type reaction. The combination of combinatorial chemical and microwave-assisted synthesis was found to be very efficient.
- IT 503175-53-9P

RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation)

((alkylamino)propenones and (alkylamino)propenoates as efficient and versatile synthons in microwave-assisted combinatorial synthesis)

- RN 503175-53-9 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-phenyl-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 9 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN L4
- 2002:300226 CAPLUS ΑN
- DN 138:107
- ΤI Inhibition of human hepatitis B virus (HBV) by a novel non-nucleosidic compound in a transgenic mouse model
- ΑU Weber, O.; Schlemmer, K.-H.; Hartmann, E.; Hagelschuer, Ina; Paessens, A.; Graef, E.; Deres, K.; Goldmann, S.; Niewoehner, U.; Stoltefuss, J.; Haebich, D.; Ruebsamen-Waigmann, H.; Wohlfeil, Stefan
- Department of Antiinfective Research, Bayer AG Pharmaceutical Research CS Centre, Wuppertal D-42096, Germany Antiviral Research (2002) 54(2), 69-78
- SO CODEN: ARSRDR; ISSN: 0166-3542
- PB Elsevier Science\B.V.
- DT Journal
- LΑ English
- AΒ BAY 41-4109 is a member of a class of heteroaryl-pyrimidines that was recently identified as potent inhibitors of human hepatitis B virus (HBV) replication. We have investigated the antiviral activity of BAY 41-4109 (Me (R)-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-methyl-1,4-dihydro-pyrimidine-5-carboxylate) in HBV-transgenic mice (Tg [HBV1.3 fsX-3'5']). Bay 41-4109 was administered per os using different schedules (b.i.d. or t.i.d. for up to 28 days) and dosages ranging from 3 to 30 The compound reduced viral DNA in the liver and in the plasma dose-dependently with efficacy comparable to 3TC. In contrast to 3TC-treated mice, we found a reduction of cytoplasmic hepatitis B virus core antigen (HBcAq) in liver sections of BAY 41-4109-treated mice, which indicated a different mode of action. Pharmacokinetic studies in mice have shown rapid absorption, a bioavailability of 30% and dose-proportional plasma concns. We conclude that BAY 41-4109 is a new anti-HBV drug candidate.
- IT 298708-81-3, BAY 41-4109

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (inhibition of human hepatitis B virus by BAY 41-4109)

RN 298708-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

```
ANSWER 10 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
ΑN
     2002:220565 CAPLUS
     136:247604
DN
     Preparation of pyrimidine and pyridine derivatives as N-type calcium
TI
     channel inhibitors and analgesics
IN
     Ohno, Seiji; Otani, Kayo; Niwa, Seiji; Iwayama, Satoshi; Takahara, Akira;
     Koganei, Hajime; Ono, Yukitsugu; Fujita, Shinichi; Takeda, Tomoko;
     Hagihara, Masako; Okajima, Akiko
PA
     Ajinomoto Co., Inc., Japan
SO
     PCT Int. Appl., 207 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                            KIND
                                                  APPLICATION NO.
PΙ
     WO 2002022588
                             A1
                                    20020321
                                                 WO 2001-JP7841
                                                                            20010910
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              GM, HR, HU, ID, IL,
                                     FM,-IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
              US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                 AU 2001-84504
EP 2001-963562
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                             Α5
                                    20020326
                                                                            20010910
              147 A1 20030611 EP 2001-963562 20010910 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     EP 1318147
     US 2004009991
                             A1
                                    20040115
                                                 US 2003-387543
                                                                            20030314
                                    20000914
PRAI JP 2000-280438
                             Α
     JP 2001-126832
                             Α
                                    20010425
     WO 2001-JP7841
                             W
                                    20010910
OS
     MARPAT 136:247604
     The title compds., e.g. I [A = 1-naphthyl, pyridin-3-yl, etc.; C = alkyl,
AB
     etc.; E = alkyl, etc.; F = thiophen-3-yl, etc.; X1 = NR14, etc.; R14 = H,
     etc.; Y = (un)saturated hydrocarbon moiety, etc.], are prepared I are useful
as
     therapeutic agents for pain and for various diseases in which N-type
     calcium channels participate. In rats dosed orally with
     4-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)-5-
     pyrimidinecarboxamide at 3 mg/kg, the flinching behavior frequency was
     39±11 times/60 min vs. 116±8 times/60 min in controls.
     404568-22-5P 404568-24-7P 404568-33-8P
IT
     404568-38-3P 404568-40-7P 404568-43-0P
     404568-47-4P 404568-56-5P 404568-57-6P
     404568-65-6P 404568-69-0P 404568-73-6P
     404568-78-1P 404568-83-8P 404568-84-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of pyrimidine and pyridine derivs. as N-type calcium channel
         inhibitors and analgesics)
RN
     404568-22-5 CAPLUS
CN
     5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenyl-2-
     propenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)
```

RN 404568-24-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-N-(3,3-diphenylpropyl)-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-33-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $C-NH-(CH_2)_3-Ph$ 
 $O$ 

RN 404568-38-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-40-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $C-NH-(CH_2)_3-Ph$ 
 $C1$ 

RN 404568-43-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(4-phenylbutyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-47-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-6-methyl-N-(2-phenylethyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-56-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(4-methoxyphenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-57-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-N-(3-phenylpropyl)-2,6-di-3-pyridinyl(9CI) (CA INDEX NAME)

RN 404568-65-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-nitrophenyl)-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-69-0 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-methyl-6-(3-methylphenyl)-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-73-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-fluorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-78-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-methoxyphenyl)-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-83-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 404568-84-9 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-6-methyl-N-(3-phenylpropyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 11 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
AN
     2002:185105 CAPLUS
     136:247491
DN
     Medicaments against viral infections containing chromanones in combination
ΤI
     with other virucidal compounds
     Nikolic, Susanne; Bartel, Stephan; Brands, Michael; Niewoehner, Ulrich;
TN
     Paessens, Arnold; Graef, Erwin; Schlemmer, Karl-Heinz; Henninger, Kerstin;
     Endermann, Rainer; Weber, Olaf; Koletzki, Diana
PA
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 165 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LA
FAN.CNT 1
     PATENT NO.
                            KIND
                                                 APPLICATION NO.
                                                                           DATE
PΙ
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                                                 WO 2001-EP9772
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     WO 2002020509
                             A3
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              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
              US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 0043791 A1 20020314 DE 2000-10043791 20000906
                                  20020314
     DE 10043791
     DE 10054932
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                                    20020508
                                                 ĎE 2000-10054932
                                                                           20001106
     AU 2001089831
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                                                 us 2001-943046
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                                                                           20010830
PRAI DE 2000-10043791
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     DE 2000-10054932
                             Α
                                    20001106
     WO 2001-EP9772
                             W
                                    20010824
OS
     MARPAT 136:247491
AB
     Chromanones I [R1 = Br, (un) substituted Ph, pyrrolyl, pyridyl,
     pyrimidinyl, piperazinyl, quinolyl; R2 = H; R1R2 = CH:CHCH:CH; R3, R4 =
     (un) substituted alkyl; R3R4 = (un) substituted alkylene, oxaalkylene,
     azaalkylene; R5 = (un)substituted alkyl; R6 = H, (un)substituted alkyl; R7
     = H, R8 = OH; R7R8 = O] were prepared for use as virucides. I in
     combination with HBV polymerase inhibitors, HBV-DNA- or HBV-core protein
     inhibitors and/or isoxazoles and optionally interferon inhibit the
     multiplication of the HBV virus in an improved manner (no data). Thus,
     3-BrC6H4OH was acetylated, subjected to Claisen rearrangement to give
     4,2-Br(HO)C6H3COMe, which was cyclized with cyclohexanone ti give I [R1,
     R5, R6 = H, R2 = 7-Br, R3R4 = (CH2)5, R7R8 = O]. This compound was treated
     with acrylonitrile to give the 3-(2-cyanoethyl) derivative which was arylated
     with 3-MeC6H4B(OH)2 to give I [R1 = R6 = H, R2 = 7-(2-MeC6H4), R3R4 =
     (CH2)5, R5 = CH2CH2CN, R7R8 = 0]. This compound had an IC50 for inhibition of hepatitis B virus of < 0.12 \mu M. Some of the isoxazoles that are
     used in combination with I are also prepared
IT
     298708-81-3 298708-83-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (virucides against hepatitis B virus containing chromanones and isoxazoles)
RN
     298708-81-3 CAPLUS
CN
     5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
     pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX
```

NAME)

Absolute stereochemistry. Rotation (-).

RN 298708-83-5 CAPLUS

5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

```
L4
     ANSWER 12 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
     2001:693321 CAPLUS
AN
DN
     135:242247
ΤI
     Preparation of 2-heterocyclyl-4-phenyldihydropyrimidines as antiviral
     agents for treatment of hepatitis B infection
IN
     Niewoehner, Ulrich; Stoltefuss, Juergen; Goldmann, Siegfried; Kraemer,
     Thomas; Schlemmer, Karl-Heinz; Keldenich, Joerg; Paessens, Arnold; Graef,
     Erwin; Weber, Olaf; Deres, Karl
     Bayer Aktiengesellschaft, Germany
PA
     PCT Int. Appl., 96 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
        PΙ
     WO 2001068647
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD,
                                          ŞL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, NE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     DE 10012549
                          A1
                                 20010920
                                            DE 2000-10012549
                                                                    20000315
PRAI DE 2000-10012549
                          Α
                                  0000315
     MARPAT 135:242247
     Title compds. [I and II; R1-R3 = H, halo, cyano, alkyl, alkoxy, NO2; R4 =
AΒ
     (substituted) (O-, S-, NR7-interrupted) alkyl; R7 = H, alkyl; R5 =
     (substituted) pyridyl, thiazolyl, oxazolyl, furyl, thienyl; R6 = (substituted) heterocyclyl], were prepared Thus, 4-(2-chloro-4-
    fluorophenyl)-2-(thiazol-2-yl)-6-methyl-1,4-dihydro-5-pyrimidinecarboxylic
     acid hydrazide (preparation given) and Et acetimidate hydrochloride were
     refluxed with pyridine for 4 h to give 93.8% 4-(2-chloro-4-fluorophenyl)-6-
     methyl-5-(5-methyl-1,3,4-oxadiazol-2-yl)-2-(thiazol-2-yl)-1,4-
     dihydropyrimidine. Several I reduced intra- and/or extracellular
     hepatitis B viral DNA in virus-producing Hep G2.2.15 cells with IC50 =
     100-200 nM.
TT
     361161-74-2P 361161-75-3P 361161-77-5P
     361161-79-7P 361161-80-0P 361161-81-1P
     361161-83-3P 361161-84-4P 361161-85-5P
     361161-98-0P 361161-99-1P 361162-00-7P
     361162-01-8P 361162-02-9P 361162-03-0P
     361162-04-1P 361162-05-2P 361162-06-3P
     361162-07-4P 361162-08-5P 361162-10-9P
     361162-12-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of 2-heterocyclyl-4-phenyldihydropyrimidines as antiviral
        agents for treatment of hepatitis B infection)
RN
     361161-74-2 CAPLUS
     5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
CN
     pyridinyl)-1,4-dihydro-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX
     NAME)
```

RN 361161-75-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

RN 361161-77-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

RN 361161-79-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 361161-80-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 361161-81-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & F \\ & & & N \\ & & & NH \\ & & & NH \\ & & & & NH \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

RN 361161-83-3 CAPLUS

CN 1H-Imidazole, 1-[[4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 361161-84-4 CAPLUS

CN 1H-Imidazole, 1-[[4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 361161-85-5 CAPLUS

CN 1H-Imidazole, 1-[[4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} N \\ N \\ C = 0 \\ N \\ N \\ N \\ C1 \\ \end{array}$$

RN 361161-98-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, hydrazide (9CI) (CA INDEX NAME)

RN 361161-99-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-chloro-4-fluorophenyl)-N-(2-cyanoethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl- (9CI) (CA INDEX NAME)

RN 361162-00-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-N-(2-hydroxyethoxy)-6-methyl- (9CI) (CA INDEX NAME)

RN 361162-01-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ Me & & & & \\ H_2N-NH-C & & C1 & \\ & & & & \\ & & & & \\ \end{array}$$

RN 361162-02-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-formylhydrazide (9CI) (CA INDEX NAME)

RN 361162-03-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-(aminocarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 361162-04-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-(aminothioxomethyl)hydrazide (9CI) (CA INDEX NAME)

RN 361162-05-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

RN 361162-06-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-N-(2-hydroxyethoxy)-6-methyl- (9CI) (CA INDEX NAME)

RN 361162-07-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-N-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 361162-08-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-, 2-formylhydrazide (9CI) (CA INDEX NAME)

RN 361162-10-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

RN 361162-12-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-N-(2-hydroxyethoxy)-6-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 13 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
ΑN
     2001:693316 CAPLUS
DN
     135:242246
     Preparation of 2-heterocyclyl-4-phenyldihydropyrimidine-5-carboxylates as
TI
     antiviral agents for treatment of hepatitis B infection
IN
     Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner, Ulrich; Schlemmer,
     Karl-Heinz; Kraemer, Thomas; Paessens, Arnold; Graef, Erwin; Weber, Olaf;
     Deres, Karl
     Bayer Aktiengesellschaft, Germany
PA
SO
     PCT Int. Appl., 67 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LA
FAN.CNT 1
     PATENT NO.
                            KIND
                                                 APPLICATION NO.
PΙ
     WO 2001068642
                            A1
                                   20010920
                                                 WO 2001-EP2444
                                                                           20010305
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
          VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

20010920 DE 2000-10012824 20000316
     DE 10012824
                                   20000316
PRAI DE 2000-10012824
                             Α
     MARPAT 135:242246
     Title compds. I and II [RI = (substituted) Ph, furyl, thienyl; R2 = H, (substituted) alkyl, heteroalkyl; R3 = CH2(R8)mXR9; R8 = (substituted)
AΒ
     alkyl, cycloalkyl; R9 = H, (substituted) aryl, heteroaryl, (O-interrupted)
     alkyl, cycloalkyl; X = O, S; m = 0, 1; R4 = H, alkyl, alkenyl, PhCO, Ac;
     R5 = (substituted) pyridyl, thiazolyl, thienyl, furyl], were prepared Thus,
     Me 6-bromomethyl-4-(2,4-dichlorophenyl)-2-(3,5-difluoropyridin-2-yl)-1,4-
     dihydropyrimidine-5-carboxylate was stirred with 4-mercaptopyridine Na
     salt in MeOH for 24 h to give 53.7\% Me 4-(2,4-dichlorophenyl)-2-(3,5-
     difluoropyridin-2-yl)-1,4-dihydro-6-(4-pyridylthiomethyl)pyrimidine-5-
     carboxylate. Tested title compds. reduced intra- and/or extracellular
     viral DNA in hepatitis B virus-producing Hep G2.2.15-cells with IC50 =
     0.05-0.8 \mu M.
IT
     360783-70-6P 360783-72-8P 360783-74-0P
     360783-75-1P 360783-77-3P 360783-80-8P
     360783-82-0P 360783-83-1P 360783-84-2P
     360783-85-3P 360783-86-4P 360783-87-5P
     360783-88-6P 360783-89-7P 360783-93-3P
     360783-94-4P 360783-95-5P 360783-96-6P
     360783-97-7P 360783-98-8P 360783-99-9P
     360784-00-5P 360784-01-6P 360784-02-7P
     360784-04-9P 360784-05-0P 360784-06-1P
     360784-07-2P 360784-08-3P 360784-09-4P
     360784-10-7P 360784-11-8P 360784-12-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of heterocyclylphenyldihydropyrimidinecarboxylates as antiviral
        agents for treatment of hepatitis B infection)
RN
     360783-70-6 CAPLUS
```

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-72-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-pyridinylthio)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-74-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>

$$C-OEt F$$

$$0$$

RN 360783-75-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360783-77-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360783-80-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

MeO-
$$CH_2$$
- $CH_2$ - $O-CH_2$ 

C-OET Br

RN 360783-82-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360783-83-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>

$$C-OEt Br$$

RN 360783-84-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-85-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

MeO-
$$CH_2$$
- $CH_2$ - $O$ - $CH_2$ 
 $C$ - $O$ Me Br

RN 360783-86-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>

C-OMe Br

$$0$$

RN 360783-87-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-88-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-89-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-2-(3-methyl-2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-93-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$i-\text{PrO}-\text{CH}_2-\text{CH}_2-\text{O}-\text{CH}_2$$

RN 360783-94-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$i-PrO-CH_2-CH_2-O-CH_2 \begin{picture}(20,10) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100}$$

RN 360783-95-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(phenylmethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-96-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(phenylmethoxy)ethoxy]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360783-97-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$i-\text{PrO-CH}_2-\text{CH}_2-\text{O-CH}_2 \qquad \begin{array}{c} F \\ N \\ NH \\ C-\text{OMe Br} \\ O \end{array}$$

RN 360783-98-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-99-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3,4-difluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360784-00-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360784-01-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360784-02-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-hydroxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

HO-
$$CH_2$$
- $CH_2$ -O- $CH_2$ 

C-OMe C1

RN 360784-04-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 360784-05-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$i-PrO-CH_2-CH_2-O-CH_2$$

$$C-OMe Cl$$

RN 360784-06-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(1-methylethoxy)ethoxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$i-PrO-CH_2-CH_2-O-CH_2 \qquad C-OMe \quad Br$$

RN 360784-07-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, ethyl ester (9CI)

(CA INDEX NAME)

RN 360784-08-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(2-hydroxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360784-09-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

RN 360784-10-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-pyrimidinylthio)methyl]-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360784-11-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[(4,5-dihydro-2-thiazolyl)thio]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360784-12-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-methoxyethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 360783-73-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection)

RN 360783-73-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(bromomethyl)-4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

## IT 360783-68-2P 360783-69-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection)

RN 360783-68-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-69-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-6-(bromomethyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
    ANSWER 14 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:693315 CAPLUS
DN
     135:242245
ΤI
     Preparation of 6-aminoalkyl-2-heterocyclyl-4-phenyldihydropyrimidine-5-
     carboxylates as antiviral agents for treatment of hepatitis B infection.
IN
     Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner, Ulrich; Schlemmer,
     Karl-Heinz; Keldenich, Joerg; Paessens, Arnold; Graef, Erwin; Weber, Olaf;
     Deres, Karl
PA
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                        KIND
                                           APPLICATION NO.
PΙ
    WO 2001068641
                         A1
                               20010920
                                           WO 2001-EP2443
                                                                   20010305
        W: AE, AG, AL, AM, AT, AU, AZ,
                                        BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
        BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          /DE 2000-10013126
                               20010920
                                                                   20000317
     DE 10013126
                         A1 #
PRAI DE 2000-10013126
                         Α
                               20000317
    MARPAT 135:242245
OS
AΒ
     Title compds. I and II [\mathring{R}1 = (sub\mathring{s}tituted)] pyridyl, pyrimidyl, pyrazinyl,
     thiazolyl; R2 = (substituted) aryl, heteroaryl; R3 = (substituted) (O-,
     S-interrupted) alkyl; R4 = (substituted) alkyl, aryl, heteroaryl, etc.; R5
     = H, (substituted) (interrupted) alkyl, heteroaryl, etc.; R4R5 =
     (substituted) (interrupted) cycloalkyl, etc.; X = (substituted)
     (O-interrupted) alkylene], were prepared Thus, Me (R)-6-bromomethyl-4-(2-
     chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydropyrimidine-
     5-carboxylate (preparation given) was stirred with Na2CO3 and
     1-cyclopropylpiperazine dihydrochloride in MeOH for 2 h at room temperature to
     give 87.6% Me (R)-4-(2-chloro-4-fluorophenyl)-6-[(4-cyclopropyl-1-
     piperazinyl)methyl]-2-(2,3-difluoro-2-pyridinyl)-1,4-dihydropyrimidine-5-
     carboxylate. Several title compds. inhibited intra- or extracellular DNA
     of hepatitis B virus-producing Hep G2.2.15-cells with inhibited with IC50
     = 0.015-0.08 \mu M.
IT
     360793-12-0P 360793-13-1P 360793-14-2P
     360793-15-3P 360793-16-4P 360793-17-5P
     360793-18-6P 360793-19-7P 360793-20-0P
     360793-21-1P 360793-22-2P 360793-23-3P
     360793-24-4P 360793-25-5P 360793-26-6P
     360793-27-7P 360793-28-8P 360793-29-9P
     360793-30-2P 360793-31-3P 360793-32-4P
     360793-33-5P 360793-34-6P 360793-35-7P
     360793-36-8P 360793-37-9P 360793-38-0P
     360793-39-1P 360793-40-4P 360793-41-5P
     360793-42-6P 360793-43-7P 360793-44-8P
     360793-45-9P 360793-46-0P 360793-47-1P
     360793-48-2P 360793-49-3P 360793-50-6P
     360793-54-0P 360793-55-1P 360793-56-2P
     360793-57-3P 360793-58-4P 360793-59-5P
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360793-60-8P 360793-61-9P 360793-62-0P
360793-63-1P 360793-64-2P 360793-65-3P
360793-66-4P 360793-67-5P 360793-68-6P
360793-69-7P 360793-70-0P 360793-71-1P
360793-72-2P 360793-73-3P 360793-74-4P
360793-75-5P 360793-76-6P 360793-77-7P
360793-79-9P 360793-80-2P 360793-81-3P
360793-82-4P 360793-83-5P 360793-84-6P
360793-85-7P 360793-86-8P 360793-87-9P
360793-88-0P 360793-89-1P 360793-90-4P
360793-91-5P 360793-92-6P 360793-93-7P
360793-94-8P 360793-95-9P 360793-96-0P
360793-97-1P 360793-98-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation\ of\ aminoal kylheterocyclyl phenyl dihydropyrimidine carboxylates\ as
   antiviral agents for treatment of hepatitis B infection.)
360793-12-0 CAPLUS
5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-6-[(4-cyclopropyl-
1-piperazinyl)methyl]-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl
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Absolute stereochemistry.

RN

CN

ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-13-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(3-hydroxy-1-pyrrolidinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-14-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-hydroxy-1-piperidinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-15-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(3-hydroxy-1-piperidinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-16-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 360793-17-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-18-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>

$$N N - CH2$$

$$C - OMe Cl$$

RN 360793-19-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-phenyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-20-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-hydroxy-1-piperidinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-21-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-acetyl-1-piperazinyl)methyl]-4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-22-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[3-(hydroxymethyl)-1-piperidinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-23-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-24-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-acetyl-1-piperazinyl)methyl]-4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-25-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-26-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-,

methyl ester (9CI) (CA INDEX NAME)

RN 360793-27-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(4-phenyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-28-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-acetyl-1-piperazinyl)methyl]-4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-29-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[(2S,6R)-2,6-dimethyl-4-morpholinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-30-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[[2-(dimethylamino)ethyl]ethylamino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-31-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-32-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-phenyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-33-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-hydroxy-1-piperidinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

HO N 
$$CH_2$$
  $C-OMe$   $Br$ 

RN 360793-34-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-acetyl-1-piperazinyl)methyl]-4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-35-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(3-hydroxy-1-piperidinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-36-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(phenylmethyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-37-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(1,4-dioxa-8-azaspiro[4.5]dec-8-ylmethyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 360793-38-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(2-methoxyethyl)amino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-39-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[ethyl(2-furanylmethyl)amino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-40-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[(1,1-dioxido-4-thiomorpholinyl)methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-41-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[(4-formyl-1-piperazinyl)methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-42-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[(2-amino-2-oxoethyl)methylamino]methyl]-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-43-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-44-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[(3-amino-3-oxopropyl)methylamino]methyl]-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-45-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-46-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-47-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(2-methoxyethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-48-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-49-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-50-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-54-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-oxo-1-piperidinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-55-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-56-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(3-oxo-1-piperazinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[(2-furanylmethyl)methylamino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-58-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(2-oxo-1-pyrrolidinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(4-morpholinylamino)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[methyl(4-pyridinylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-61-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[2-(hydroxymethyl)-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-62-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(1,4-dioxa-7-azaspiro[4.4]non-7-ylmethyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-63-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(3-oxo-4-morpholinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-64-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(methyl-4-morpholinylamino)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-65-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(methyl-4-morpholinylamino)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-66-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-acetylhexahydro-1H-1,4-diazepin-1-yl)methyl]-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-67-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(2-methylbenzoyl)-1-piperazinyl]methyl]-, methyl ester, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

HCl

RN 360793-68-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[(3R,4S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-methoxy-1-pyrrolidinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-69-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(1-oxido-4-thiomorpholinyl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-70-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(phenoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-71-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[3-[(dimethylamino)methyl]-3-hydroxy-1-pyrrolidinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-72-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-oxa-5-azabicyclo[2.2.1]hept-5-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-73-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[(4-benzoyl-1-piperazinyl)methyl]-4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-74-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[3-(hydroxymethyl)-1-pyrrolidinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-75-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[[2-(2-hydroxyethoxy)ethyl]methylamino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-76-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(methyl-4-morpholinylamino)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-77-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-[(phenylmethoxy)carbonyl]-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(2-oxa-5-azabicyclo[2.2.1]hept-5-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-80-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-oxa-5-azabicyclo[2.2.1]hept-5-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(phenoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-82-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(9-methyl-6-oxa-2,9-diazaspiro[4.5]dec-2-yl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-83-5 CAPLUS

CN Pyrrolo[3,4-b]-1,4-oxazine-6(2H)-carboxylic acid, 4-[((6R)-6-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,6-dihydro-5-(methoxycarbonyl)-4-pyrimidinyl]methyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 360793-84-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(trifluoroacetyl)-1-piperazinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-85-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[(3aS,4R,7aR)-1,3,3a,4,7,7a-hexahydro-4-(hydroxymethyl)-3a,7a-dimethyl-2H-isoindol-2-yl]methyl]-1,4-dihydro-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

RN 360793-86-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-[(tetrahydro-2-furanyl)carbonyl]-1-piperazinyl]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-87-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-6-[[4-[(2,4-difluorophenoxy)acetyl]-1-piperazinyl]methyl]-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-88-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(3-oxa-8-azabicyclo[3.2.1]oct-8-ylmethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-89-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(8-oxa-3-azabicyclo[3.2.1]oct-3-ylmethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 360793-90-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[methyl[2-(4-morpholinyl)ethyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-91-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(phenoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-92-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[ethyl(2-methoxyethyl)amino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 360793-93-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(methoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-94-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(methoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-95-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(methoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

MeO-C 
$$N \longrightarrow CH_2 \longrightarrow N \longrightarrow CH_2 \longrightarrow CC$$
  $C \longrightarrow C \longrightarrow CC$   $C \longrightarrow CC$ 

RN 360793-96-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[4-(methoxycarbonyl)-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-97-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(tetrahydro-1,6a-dimethyl-1H-pyrrolo[3,4-c]isoxazol-5(3H)-yl)methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360793-98-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[3-fluoro-3-(hydroxymethyl)-1-pyrrolidinyl]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 298708-79-9P 360793-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkylheterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection.)

RN 298708-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-

pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-11-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(bromomethyl)-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 15 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:693314 CAPLUS
DN
     135:242244
ΤI
     Preparation of 6-aminoalkyl-2-heterocyclyl-4-phenyldihydropyrimidine-5-
     carboxylates as antiviral agents for treatment of hepatitis B infection
IN
     Goldmann, Siegfried; Stoltefusz, Juergen; Niewoehner, Ulrich; Schlemmer,
     Karl-Heinz; Keldenich, Joerg; Paeszens, Arnold; Graef, Erwin; Weber, Olaf;
     Deres, Karl
PA
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
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     German
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                          KIND
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                                                                      DATE
                                              WO 2001-EP2442
     WO 2001068640
                                 20010920
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     ES 2222363
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                                              ES 2001-1921313
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                                              US 2003-221919
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PRAI DE 2000-10012823
                           Α
                                 20000316
     WO 2001-EP2442
                           W
                                 20010305
OS
     MARPAT 135:242244
     Title compds. I and II [R1, R2 = H, F, Cl, Br; R3 = alkyl; X = CH2,
AΒ
     CH2CH2; Z = NR4R5, pyridyl; R4 = PhCH2, (substituted) alkyl; R5 =
     (OH-substituted) alkyl; NR4R5 = imidazolyl, triazolyl, tetrazolyl,
     heterocyclyl; R6 = (F-substituted) pyridyl, thiazolyl], were prepared
     Me (R)-6-bromomethyl-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
     pyridyl)-1,4-dihydropyrimidine-5-carboxylate (preparation given) in MeOH was
     stirred with piperidine for 30 min. at room temperature to give 69% Me
     (R)-4-(2-\text{chloro}-4-\text{fluorophenyl})-2-(3,5-\text{difluoro}-2-\text{pyridyl})-6-
     piperidinomethyl-1,4-dihydropyrimidine-5-carboxylate. Tested title
     compds. reduced hepatitis B intra- and/or extracellular DNA by virus
     producing Hep G2.2.15 cells with IC50 = 0.002-0.4 \mu M.
     361204-32-2P 361204-33-3P 361204-34-4P
ΙT
     361204-35-5P 361204-36-6P 361204-37-7P
     361204-38-8P 361204-39-9P 361204-40-2P
     361204-41-3P 361204-42-4P 361204-43-5P
     361204-44-6P 361204-45-7P 361204-46-8P
     361204-47-9P 361204-48-0P 361204-49-1P
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     361204-53-7P 361204-54-8P 361204-55-9P
     361204-56-0P 361204-57-1P 361204-58-2P
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361204-59-3P 361204-60-6P 361204-61-7P 361204-62-8P 361204-63-9P 361204-64-0P 361204-65-1P 361204-66-2P 361204-67-3P 361204-68-4P 361204-69-5P 361204-70-8P 361204-71-9P 361204-72-0P 361204-73-1P 361204-74-2P 361204-75-3P 361204-80-0P 361204-81-1P 361204-82-2P 361204-83-3P 361204-84-4P 361204-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalkylheterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection)

RN 361204-32-2 CAPLUS

CN

5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-33-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[2-(3-thiazolidinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-34-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[2-(4-pyridinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-35-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1-piperidinylmethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-36-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 361204-37-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-6-[(diethylamino)methyl]-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-38-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-39-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(1-piperidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-40-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-41-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1-pyrrolidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-42-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-43-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-44-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1-pyrrolidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-45-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(3-thiazolidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-46-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-47-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[(ethylmethylamino)methyl]-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-48-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[ethyl(2-hydroxyethyl)amino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-49-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-50-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(3-thiazolidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-51-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-52-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(3-thiazolidinylmethyl)-, methyl ester (9CI) (CA

INDEX NAME)

RN 361204-53-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[bis(2-hydroxyethyl)amino]methyl]-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-54-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)methylamino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 361204-55-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-56-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[(hexahydro-1H-azepin-1-yl)methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 361204-57-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[(dimethylamino)methyl]-1,4-dihydro-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-58-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[bis(2-hydroxyethyl)amino]methyl]-4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

HO-
$$CH_2$$
- $CH_2$ 
HO- $CH_2$ - $CH_2$ - $N$ - $N$ H

 $C1$ 
 $C$ - $OMe$ 
 $C1$ 
 $C$ 

RN 361204-59-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(3-thiazolidinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-60-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-61-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[bis(2-hydroxyethyl)amino]methyl]-4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-62-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-63-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)methylamino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-64-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(2-methylpropyl)amino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-65-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-morpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-66-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)methylamino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-67-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(4-thiomorpholinylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-68-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(3-thiazolidinylmethyl)-, methyl ester (9CI) (CA

INDEX NAME)

RN 361204-69-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[[bis(2-hydroxyethyl)amino]methyl]-4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-70-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-71-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-72-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-73-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-74-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(phenylmethyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-75-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1H-imidazol-1-ylmethyl)-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

RN 361204-80-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino]methyl]-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-81-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(3-hydroxypropyl)amino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 361204-82-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[[(2-hydroxyethyl)(2-hydroxypropyl)amino]methyl]-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361204-83-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1H-imidazol-1-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-84-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(1H-tetrazol-1-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 361204-85-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2H-tetrazol-2-ylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

## IT 298708-79-9P 360793-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkylheterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection)

RN 298708-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 360793-11-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(bromomethyl)-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 16 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
      2001:693313 CAPLUS
      135:242243
DN
TI
      Preparation of 2-heterocyclyl-4-phenyldihydropyrimidine-5-carboxylates as
      antiviral agents for treatment of hepatitis B infection
      Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner, Ulrich; Schlemmer,
IN
      Karl-Heinz; Kraemer, Thomas; Paessens, Arnold; Graef, Erwin; Weber, Olaf;
      Deres, Karl
PA
      Bayer Aktiengesellschaft, Germany
SO
      PCT Int. Appl., 60 pp.
      CODEN: PIXXD2
DT
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T<sub>4</sub>A
      German
FAN.CNT 1
     PATENT NO.
                             KIND
                                     DATE
                                                                              DATE
                                                   APPLICATION NO.
                                     20010920
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     WO 2001068639
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                                                   WO 2001-EP2441
                                                                              20010305
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              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
          VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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      DE 10013125
                                                   DE 2000-10013125
                                                                              20000317
                              A1
PRAI DE 2000-10013125
                                     20000317
                              Α
     MARPAT 135:242243
     Title compds. I and II [R1 = dihalophenyl; R2 = Me, Et; R3 = CH2(R8)mXnR9; R8 = CR10R11; R10 = H, OH, Me; R11 = H, Me; X = O; R9 =
AΒ
      (azido-substituted) alkyl; R4 = H; R5 = (substituted) pyridyl, thiazolyl;
     m, n = 0, 1; n = 1 if m = 0; n = 0 if m = 1; R3 contains \ge 1 0],
     were prepared Thus, Me isopropoxyacetylacetate (preparation given),
      2-chloro-4-fluorobenzaldehyde, and 3,5-difluoro-2-pyridinecarboxamidine
     diacetate (analog preparation given) were refluxed 16 h in MeOH to give 5.5% Me
      4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-
      (isopropoxymethyl)-1,4-dihydropyrimidine-5-carboxylate. Tested title
      compds. inhibited intra- and/or extracellular DNA of hepatitis B
      virus-producing Hep G2.2.15-cells with IC50 = 0.06-0.3 \mu M.
ΙT
      360788-32-5P 360788-33-6P 360788-34-7P
      360788-35-8P 360788-37-0P 360788-38-1P
      360788-39-2P 360788-40-5P 360788-41-6P
      360788-42-7P 360788-43-8P 360788-46-1P
      360788-47-2P 360788-48-3P 360788-49-4P
      360788-50-7P 360788-51-8P 360788-52-9P
      360788-53-0P 360788-54-1P 360788-55-2P
      360788-56-3P 360788-57-4P 360788-58-5P
      360788-59-6P 360788-60-9P 360788-61-0P
      360788-63-2P 360788-64-3P 360788-65-4P
      360788-66-5P 360788-67-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
      study, unclassified);    SPN (Synthetic preparation);    THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of heterocyclylphenyldihydropyrimidinecarboxylates as antiviral
         agents for treatment of hepatitis B infection)
RN
      360788-32-5 CAPLUS
CN
      5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
```

pyridinyl)-1,4-dihydro-6-[(1-methylethoxy)methyl]-, methyl ester (9CI)
(CA INDEX NAME)

RN 360788-33-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-34-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-35-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-37-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-38-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-39-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-40-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(methoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-41-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(methoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-42-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-difluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-43-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-46-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3,4-difluorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-47-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-48-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-49-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-50-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-51-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-52-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-chlorophenyl)-2-(3-chloro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 360788-53-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-

pyridinyl)-1,4-dihydro-6-(2-hydroxybutyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-54-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-hydroxybutyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-55-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-6-(ethoxymethyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-56-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-57-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(methoxymethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360788-58-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(1-methylethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-59-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-[(1-methylethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-60-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-[(1-methylethoxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-61-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-(propoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-63-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-hydroxy-3-methylbutyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-64-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-hydroxy-2-methylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 360788-65-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360788-66-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-(ethoxymethyl)-1,4-dihydro-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 360788-67-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-(2-methoxyethyl)-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 360783-68-2P 360783-69-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylphenyldihydropyrimidinecarboxylates as antiviral agents for treatment of hepatitis B infection)

RN 360783-68-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 360783-69-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-4-fluorophenyl)-6-(bromomethyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 17 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:661495 CAPLUS
DN
     135:221261
TI
     Synthesis of isoxazoles and usage as anti HPV agent
IN
     Brands, Michael; Nikolic, Susanne; Eckenberg, Peter; Bauser, Marcus;
     Kaulen, Johannes; Paessens, Arnold; Graef, Erwin; Weber, Olaf; Lottmann,
     Stefan; Schlemmer, Karl-heinz
PA
     Bayer A.-G., Germany
SO
     PCT Int. Appl., 76 pp.
     CODEN: PIXXD2
DT
     Patent
     German
T.A
FAN.CNT 2
     PATENT NO.
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                                                                        DATE
                                               APPLICATION NO.
PΙ
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408 A1 20010830 DE 2000-10009408
874 A1 20020117 DE 2000-10032874
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OS
     MARPAT 135:221261
AB
     The invention concerns the synthesis of isoxazoles ((I), R groups are
     defined) and their usage as highly effective anti-viral agents.
     Combinations of isoxazoles, dihydropyrimidines and/or HBV polymerase
     inhibitors e.g. lamivudine, phenylpropeneamide derivs., and optionally,
     interferon inhibit the proliferation of HBV viruses better than
     conventional agents.
ΙT
     298708-81-3 298708-83-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (synthesis of isoxazoles and usage as anti HPV agent)
RN
     298708-81-3 CAPLUS
CN
     5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
     pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX
     NAME)
```

RN 298708-83-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

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ANSWER 18 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
     2001:472499 CAPLUS
ΑN
DN
     135:56051
     Dihydropyrimidine-HBV antiviral-immunomodulator combinations for treating
TΙ
     hepatitis B infection
IN
     Paessens, Arnold; Deres, Karl; Weber, Olaf; Graef, Erwin; Goldmann,
     Siegfried; Kramer, Thomas; Niewohner, Ulrich; Schlemmer, Karl-Heinz;
     Stoltefuss, Jurgen
     Bayer Aktiengesellschaft, Germany
PΑ
SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
DT
     Patent
     German
LА
FAN.CNT 1
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              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                                           20000314
PRAI DE 1999-19962010
                                   19991222
                            Α
     DE 2000-10012259
                            Α
                                   20000314
     MARPAT 135:56051
     The invention provides combinations of dihydropyrimidines, an antiviral
AΒ
     agent (e.g. lamivudine), and an immunomodulator (e.g. interferon) for
     inhibition of the multiplication of HBV viruses better than conventional
     agents.
IT
     298708-81-3 298708-81-3D, isomers 298708-83-5
     298708-83-5D, isomers
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
         (dihydropyrimidine-HBV antiviral-immunomodulator combination for
        treating hepatitis B infection)
RN
     298708-81-3 CAPLUS
CN
     5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-
     pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX
     NAME)
```

RN 298708-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 298708-83-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

RN 298708-83-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 19 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
     2001:235559 CAPLUS
AN
DN
     134:266319
TI
     CD40 function inhibitors containing (hetero)aryl compounds and their
     preparation
     Saito, Shoichi; Akane, Katsura; Fujimoto, Katsumi; Shiraishi, Akio;
IN
     Kurakata, Shinichi; Maeda, Hiroaki; Tatsuta, Toru
PA
     Sankyo Co., Ltd., Japan
SO
     Jpn. Kokai Tokkyo Koho, 139 pp.
     CODEN: JKXXAF
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
     JP 2001089452
                                20010403
                          A2
                                             JP 1999-267909
                                                                    19990922
PRAI JP 1999-267909
                                19990922
OS
    MARPAT 134:266319
     Title inhibitors, useful for prevention and treatment of allergy,
AB
     rheumatoid, autoimmune disease, and arteriosclerosis, contain aromatic
     compds. I [R1, R3, R4 = H, OH, halo, C1-15 alkyl(oxy), C1-15 alkylthio,
     (un) substituted (hetero) aryl, etc.; R2 = NO2, nitrile, CO2H, C2-6
     alkoxycarbonyl; R1CCR2 may form (un)substituted (hetero)aryl; X, Y = N,
     CH] or their salts as active ingredients. Thus, MeOCPh:C(CO2Et)2 was
     refluxed with benzamidine HCl salt and NaH in EtOH for 5 h, evaporated,
     neutralized, extracted with AcOEt, the organic phase concentrated, and treated
with
     POC13 and morpholine to give 52\% I (R1 = R4 = Ph, R2 = CO2Et, R3 =
     4-morpholino, X = Y = N), which at 25 \muM inhibited 88% formation of
     IL-12.
ΙT
     332071-03-1P 332071-05-3P 332071-07-5P
     332071-08-6P 332071-09-7P 332071-11-1P
     332071-12-2P 332071-13-3P 332071-33-7P
     332071-34-8P 332071-35-9P 332071-36-0P
     332071-37-1P 332071-38-2P 332071-39-3P
     332071-40-6P 332071-41-7P 332071-42-8P
     332071-45-1P 332071-47-3P 332071-53-1P
     332071-55-3P 332071-56-4P 332071-57-5P
     332071-58-6P 332071-61-1P 332071-62-2P
     332071-63-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of (hetero)aryl compds. as CD40 function inhibitors)
RN
     332071-03-1 CAPLUS
CN
     5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-phenyl-2-(3-pyridinyl)-,
```

potassium salt (9CI) (CA INDEX NAME)

RN 332071-05-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-phenyl-2-(4-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-07-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(2-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-08-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

RN 332071-09-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(4-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-11-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(2-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-12-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

RN 332071-13-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(4-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-33-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(cyclohexylamino)-6-phenyl-2-(3-pyridinyl)-, monopotassium salt (9CI) (CA INDEX NAME)

K

RN 332071-34-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-6-(phenylamino)-2-(3-pyridinyl)-, monopotassium salt (9CI) (CA INDEX NAME)

RN 332071-35-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-6-(1-piperazinyl)-2-(3-pyridinyl)-, monopotassium salt (9CI) (CA INDEX NAME)

● K

RN 332071-36-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(diethylamino)-6-phenyl-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-37-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-ethoxy-6-phenyl-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

RN 332071-38-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(cyclohexyloxy)-6-phenyl-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-39-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(heptyloxy)-6-phenyl-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

K

RN 332071-40-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(pentyloxy)-6-phenyl-2-(3-pyridinyl)-, potassium salt (9CI) (CA INDEX NAME)

RN 332071-41-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(methylthio)-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-42-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-6-phenyl-2-(3-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)

●2 Na

RN 332071-45-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-6-phenyl-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-47-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-6-phenyl-2-(2-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)

•2 Na

RN 332071-53-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-(1-naphthalenyl)-4-oxo-2-(3-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)

•2 Na

RN 332071-55-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylamino)-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-56-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylamino)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-57-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylamino)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-58-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-2-(3-pyridinyl)-6-(4-thiomorpholinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-61-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-6-(1-piperazinyl)-2-(2-pyridinyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 332071-62-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-6-(1-piperazinyl)-2-(3-pyridinyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 332071-63-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-6-(1-piperazinyl)-2-(4-pyridinyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

IT 332071-95-1

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of (hetero)aryl compds. as CD40 function inhibitors)

RN 332071-95-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-chloro-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

IT 332071-77-9P 332071-79-1P 332071-80-4P

332071-81-5P 332071-84-8P 332071-85-9P

332071-86-0P 332071-87-1P 332071-91-7P

332071-92-8P 332071-93-9P 332071-94-0P

332072-00-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hetero) aryl compds. as CD40 function inhibitors)

RN 332071-77-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-79-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-80-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-81-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-6-(phenylmethyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-84-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-85-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(3-pyridinyl)-,

ethyl ester (9CI) (CA INDEX NAME)

RN 332071-86-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-morpholinyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-87-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-91-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(cyclohexylamino)-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-92-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-6-(phenylamino)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-93-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332071-94-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(diethylamino)-6-phenyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 332072-00-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-(1-naphthalenyl)-4-oxo-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

```
L4
     ANSWER 20 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
     2000:911229 CAPLUS
AN
     134:56687
DN
TI
     Preparation of dihydropyrimidine derivatives as N-type calcium channel
     antagonists
     Ohno, Seiji; Okajima, Akiko; Niwa, Seiji; Kito, Morikazu; Takahara, Akira;
IN
     Ono, Yukitsugu; Kajigaya, Yuki; Takeda, Tomoko; Koganei, Hajime
PA
     Ajinomoto Co., Inc., Japan
SO
     PCT Int. Appl., 139 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
                                   DÁTE
     PATENT NO.
                           KIND
                                                 APPLICATION NO.
                                                                          DATE
PΙ
     WO 2000078730
                            A1
                                   20001228
                                                WO 2000-JP4107
                                                                           20000622
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              CR, CU, CZ, DE, DK, DM, DZ, FE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1193259
                                   20020403
                                               EP 2000-940812
                                                                           20000622
                            A1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                                 US 2001-25589
     US 2002143023
                            Α1
                                   20021003
                                                                           20011226
     US 6855716
                            B2
                                   20050215
PRAI JP 1999-177493
                            Α
                                   19990623
                            Α
                                   19990930
     JP 1999-277717
                            W
                                   20000622
     WO 2000-JP4107
OS
     MARPAT 134:56687
AΒ
     The title compds. I [R1 and R2 together form Q1, etc.; B2 = amino, etc.; A
     = 1-naphthyl, etc.; E = H, (un)substituted heteroaryl, etc.; C = H, alkyl,
     etc.; D = H, alkyl, etc.; L = O (with a proviso), etc.; Y = bond (with a
     proviso), etc.; X1 = CH2, etc.] are prepared I exhibit selective antagonist
     activity against the N-type calcium channel. In an in vitro test for
     N-type calcium channel antagonism, 4-(3-chlorophenyl)-6-[(2-
     cyclohexylethoxy)methyl]-5-(3,3-diphenylpropylcarbamoyl)-2-phenyl-1,4-
     dihydropyrimidine showed the pIC50 value of 5.9.
     313999-93-8P 313999-96-1P 313999-98-3P
     314000-01-6P 314000-03-8P 314000-06-1P
     314000-08-3P 314000-10-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of dihydropyrimidine derivs. as N-type calcium channel
        antagonists)
RN
     313999-93-8 CAPLUS
     5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-1,4-dihydro-6-methyl-N-(3-
CN
```

phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 313999-96-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-N-(3,3-diphenylpropyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 313999-98-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-N-(3,3-diphenylpropyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $C-NH-CH_2-CH_2-CHPh_2$ 
 $C$ 

RN 314000-01-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3-chlorophenyl)-1,4-dihydro-6-methyl-N-(3-phenyl-2-propenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 314000-03-8 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-N-(3,3-diphenylpropyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 314000-06-1 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-1,4-dihydro-6-methyl-N-(3-phenylpropyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 314000-08-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,4-dichlorophenyl)-1,4-dihydro-6-methyl-N-(3-phenyl-2-propenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 314000-10-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 4-(3,5-dichlorophenyl)-1,4-dihydro-6-methyl-N-(3-phenyl-2-propenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $C1$ 
 $C1$ 
 $C1$ 
 $C-NH-CH_2-CH=CH-Ph$ 
 $C1$ 
 $C1$ 
 $C1$ 
 $C-NH-CH_2-CH=CH-Ph$ 

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 21 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2000:861658 CAPLUS
DN
     134:29425
TI
     Novel 4-phenyl-pyrimidine derivatives as NK-1 receptor antagonists
     Boes, Michael; Galley, Guido; Godel, Thierry; Hoffmann, Torsten; Hunkeler,
IN
     Walter; Schnider, Patrick; Stadler, Heinz
PA
     F. Hoffmann-La Roche A.-G., Switz.
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DΤ
     Patent
     English
LΑ
FAN.CNT 1
                                    DATE .
     PATENT NO.
                            KIND
                                                 APPLICATION NO.
                                                                            DATE
     WO 2000073279
                             A1
                                    20001207
                                                 √WO 2000-EP4701
          W: AE, AL, AM, AT, AU\/AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
              DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
              JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
              TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6274588
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                                   //20010814
                                                 US 2000-575382
                                                                            20000522
     TW 550258
                                                 TW 2000-89109829
                             В
                                    20030901
                                                                            20000522
     CA 2375671
                             AA
                                    20001207
                                                  CA 2000-2375671
                                                                            20000524
     BR 2000011127
                             Α
                                    20020219
                                                 BR 2000-11127
                                                                            20000524
     EP 1187815
                                    20020320
                                                 ER 2000-927234
                                                                            20000524
                             Α1
     EP 1187815
                                    20060208
                             В1
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, CY
     TR 200103457
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                                    20020422
                                                 TR[2001-200103457
                                                                            20000524
     JP 2003500478
                             Т2
                                    20030107
                                                  JP 2000-621345
                                                                            20000524
     JP 3590592
                             В2
                                    20041117
     AU 770786
                             B2
                                   20040304
                                                 AÚ 2000-45677
                                                                            20000524
     NZ 515407
                             Α
                                   ₹20040326
                                                 NŽ 2000-515407
                                                                            20000524
     RU 2243221
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                                                 RU 2001-133458
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     AT 317389
                             Ε
                                    20060215
                                                 #AT 2000-927234
                                                                            20000524.
                                    20030206
     ZA 2001009163
                             A
                                                 ZA 2001-9163
                                                                            20011106
     NO 2001005700
                             Α
                                    20011122
                                                 NO 2001-5700
                                                                            20011122
                                    20060502
     NO 321354
                             В1
     HR 2001000871
                             A1
                                    200304-30
                                                 HR 2001-871
                                                                            20011122
     HK 1046528
                             A1
                                    20050225
                                                 HK 2002-107643
                                                                            20021022
PRAI EP 1999-110483
                                    19990531
                             Α
     WO 2000-EP4701
                                    20000524
OS
     MARPAT 134:29425
AΒ
     The invention discloses pyrimidine derivs. I [R1 = H or halo; R2 = H,
     halo, lower alkyl or lower alkoxy; R1 and R2 may be together with the two
     carbon atoms -CH=CH-CH=CH-; R3 = halo, CF3, lower alkyl or lower alkoxy;
     R4, R6 = (independently) H or lower alkyl; R5 = lower alkyl, lower alkoxy,
     amino, Ph, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl,
     pyridyl, pyrimidyl, (un)substituted -(CH2)n-piperazinyl, which is optionally substituted by one or two lower alkyl groups or by
     hydroxy-lower alkyl, -(CH2)n-morpholinyl, -(CH2)n-piperidinyl, -(CH2)n+1-imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl,
     benzylamino, -NH-(CH2)n+1N(R7)2, -(CH2)n+1N(R7)2, -O-(CH2)n+1-morpholinyl,
     -O-(CH2)n+1-piperidinyl or -O-(CH2)n+1N(R7)2, wherein R7 = H or lower
     alkyl; n = 0-2; X = -C(0)N(R7) - or -N(R7)C(0)-] and their pharmaceutically
```

acceptable acid addition salts as NK-1 receptor antagonists. The preferred compds. exhibited pKi values for NK-1 receptor affinity in the range of 8.00-9.20, e.g., the pKi of II was 8.45. With demonstrated affinity to the NK-1 receptor, these compds. may prove useful for the treatment of medical conditions related to this receptor, e.g., inflammatory conditions such as arthritis, migraine, asthma, etc., and in particular CNS disorders such as depression or emesis.

## IT 311339-65-8P 311340-48-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311339-65-8 CAPLUS

CN

5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{Me O} \\ \hline \\ \text{CH2} - \text{N-C} & \text{N} \\ \hline \\ \text{Ph} & \text{N} \end{array}$$

RN 311340-48-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & \text{Me O} \\ & | & | \\ & | \\ F3C & \\ Ph & N & \\ \end{array}$$

## IT 311340-89-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn and biol. activity of phenylpyrimidine derivs. as NK-1
 antagonists)

RN 311340-89-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

IT 311340-78-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311340-78-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 22 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2000:707158 CAPLUS
DN
     133:266868
TI
     Preparation of 4-aryl-2-pyridinyl-1,4-dihydropyrimidine-5-carboxylates for
     treatment of hepatitis B infection.
IN
     Stolting, Jorn; Stoltefuss, Jurgen; Goldmann, Siegfried; Kramer, Thomas;
     Schlemmer, Karl-heinz; Niewohner, Ulrich; Paessens, Arnold; Graef, Erwin;
     Lottmann, Stefan; Deres, Karl; Weber, Olaf
     Bayer A.-G., Germany; et al.
PA
SO
     PCT Int. Appl., 75 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                              APPLICATION NO.
ΡI
     WO 2000058302
                           A1 /
                                 20001005
                                              WO 2000-EP2327
                                                                       20000316
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             CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
             IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
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         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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PRAI BS 1999-1161
                           Α
                                  19990325
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                                 20000316
                           Α
OS
     MARPAT 133:266868
     Title compds. [I; R1 = (substituted) Ph, furyl, thienyl, triazolyl,
     pyridyl, cycloalkyl, etc.; R2 = XR12, NR13R14; X = bond, O; R12 = H,
     alkoxycarbonyl, (unsatd.) (substituted) (hetero)alkyl; R3 = H, amino,
     (MeO) 2CH, CHO, cyano, CF3, Ph, pyridyl, etc.; R2R3 = OCH2; R4 = H, alkyl,
     alkenyl, PhCO, acyl; R5 = (substituted) pyridyl], were prepared for
     treatment of hepatitis B infection (no data). Thus, 3,5-difluoro-2-
     pyridinecarboximidamide hydrochloride (preparation given), Me
     2-acetyl-3-(2-chloro-4-fluorophenyl)-2-propenoate (preparation given), and
     NH4OAc were refluxed 4 h in Me2CHOH to give 80% Me 4-(2-chloro-4-
     fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-6-methyl-1,4-dihydropyrimidine-
     5-carboxylate.
ΙT
     246872-26-4P 246872-59-3P 298708-48-2P
     298708-51-7P 298708-53-9P 298708-55-1P
     298708-57-3P 298708-59-5P 298708-61-9P
     298708-63-1P 298708-65-3P 298708-67-5P
     298708-69-7P 298708-71-1P 298708-73-3P
     298708-75-5P 298708-77-7P 298708-79-9P
     298708-81-3P 298708-83-5P 298708-85-7P
     298708-87-9P 298708-89-1P 298708-91-5P
     298708-93-7P 298708-95-9P 298708-97-1P
     298708-99-3P 298709-01-0P 298709-03-2P
     298709-05-4P 298709-07-6P 298709-09-8P
     298709-10-1P 298709-12-3P 298709-14-5P
     298709-16-7P 298709-18-9P 298709-20-3P
     298709-22-5P 298709-23-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
```

(preparation of 4-aryl-2-pyridinyl-1,4-dihydropyrimidine-5-carboxylates for treatment of hepatitis B infection)

RN 246872-26-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-59-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-48-2 CAPLUS

CN Ethanone, 1-[4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 298708-51-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-53-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-55-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-57-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromophenyl)-2-(3-chloro-2-pyridinyl)-

1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-59-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-nitrophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-61-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3,5-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-63-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluoro-5-nitrophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX

NAME)

RN 298708-65-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(5-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-67-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-2-(5-methoxy-2-pyridinyl)-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-69-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(5-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-71-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-2-(5-methoxy-2-pyridinyl)-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-73-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-2-(4-methoxy-2-pyridinyl)-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-75-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-4-methoxy-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-77-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-79-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-

pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-81-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 298708-83-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 298708-85-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

## Rotation (-).

RN 298708-87-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 298708-89-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-91-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-93-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 298708-95-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-dichloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298708-97-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3,5-dichloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298708-99-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 298709-01-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-(2-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 298709-03-2 CAPLUS

CN Ethanone, 1-[4-(2-aminophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 298709-05-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-difluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 298709-07-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-difluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-09-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-difluorophenyl)-6-ethyl-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-10-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-fluoro-4-methylphenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-12-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-ethyl-4-(2-fluoro-4-methylphenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-14-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-[2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-16-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-ethyl-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-4-[2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-18-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-[4-nitro-2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-20-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-ethyl-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-4-[4-nitro-2-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-22-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-4-[4-fluoro-2-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 298709-23-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-ethyl-4-(2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 23 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
L4
AN
     1999:684291 CAPLUS
DN
     131:299458
ΤI
     Use of dihydropyrimidines as virucides for hepatitis B
     Stoltefuss, Juergen; Goldmann, Siegfried; Paessens, Arnold; Graef, Erwin;
IN
     Lottmann, Stefan
PA
     Bayer A.-G., Germany
SO
     Ger. Offen., 78 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
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     PATENT NO.
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                                                                      DATE
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                                              EP 1999-920604
                                                                      19990407
     EP 1073642
                          В1
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     ES 2186354
                           Т3
                                 20030501
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                                                                      19990407
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                           В1
                                 20020820
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PRAI DE 1998-19817265
                           Α
                                 19980418
     WO 1999-EP2346
                           W
                                 19990407
OS
     MARPAT 131:299458
AΒ
     Dihydropyrimidines I and II [R1 = (un) substituted Ph, furyl, thienyl,
     triazolyl, pyridyl, cycloalkyl, benzothiazolyl, isoquinolyl; R2 = H,
     (un) substituted OH, NH2, hydrocarbon, alkoxycarbonyl; R3 = H, NH2,
     CH(OMe)2, CHO, CN, hydroxyalkylthio, CF3, pyridyl, (un)substituted
     hydrocarbon, Ph, MeOC6H4; R2R3 = OCH2; R4 = H, alkyl, alkenyl, acyl; R5 =
     pyridyl, pyrimidinyl, pyrazinyl] were prepared for use in the treatment of
     hepatitis B (no data). Chus, 2-ClC6H4CHO was treated with MeCOCH2CO2Et
     and 2-amidinopyridine hydrochloride to give 42\% I [R1 = 2-C1C6H4, R2 =
     OMe, R3 = Me, R4 = H, R5 = 2-pyridyl].
ΙT
     247052-44-4P 247052-45-5P 247052-46-6P
     247052-47-7P 247052-48-8P 247052-49-9P
     247052-50-2P 247052-51-3P 247052-52-4P
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     247052-56-8P 247052-57-9P 247052-58-0P
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     247052-65-9P 247052-66-0P 247052-67-1P
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     247052-83-1P 247052-84-2P 247052-85-3P
     247052-86-4P 247052-87-5P 247052-88-6P
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RN

CN

RN 247052-45-5 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247052-46-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-

pyridinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 247052-47-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,3-dichlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-48-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-49-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(2-nitrophenyl)-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-50-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247052-51-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-fluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 247052-52-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(3-phenyl-5-quinolinyl)-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-53-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-phenyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247052-54-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-(2-methoxyphenyl)-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-55-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(2-methylphenyl)-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-56-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-cyanophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-57-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-dichlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-58-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,4-difluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-59-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-6-ethyl-1,4-dihydro-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-60-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-(bromomethyl)-4-(2-chlorophenyl)-1,4-dihydro-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-61-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1-acetyl-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-62-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-oxo-3-(phenylamino)propyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-63-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-oxo-3-[(phenylmethyl)amino]propyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-64-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-oxo-3-(1-piperidinyl)propyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-65-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[3-(butylamino)-3-oxopropyl]-4-(2-chlorophenyl)-1,4-dihydro-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-66-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-[(2-hydroxyethyl)amino]-3-oxopropyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

HO- 
$$CH_2$$
-  $CH_2$ -  $NH$ -  $C$ -  $CH_2$ -  $CH_2$ 
 $C$ -  $OMe$   $C1$ 

RN 247052-67-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-(4-morpholinyl)-3-oxopropyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-68-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-[(4-hydroxyphenyl)amino]-3-oxopropyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 247052-69-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-[3-[(2-aminoethyl)amino]-3-oxopropyl]-4-(2-

chlorophenyl)-1,4-dihydro-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-70-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-fluoro-2-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-71-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-2-(2-pyridinyl)-4-(3-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-72-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-2-(2-pyridinyl)-4-(1H-1,2,3-triazol-1-yl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-73-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclohexyl-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247052-74-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-2-(2-pyridinyl)-4-(2-thienyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-80-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[3-[(4-hydroxy-2,5-dimethylphenyl)amino]-3-oxopropyl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-81-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(2-phenyl-4-benzothiazolyl)-2-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-83-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247052-84-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-thienyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-85-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-thienyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247052-86-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, butyl ester (9CI) (CA INDEX NAME)

RN 247052-87-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propynyl ester (9CI) (CA INDEX NAME)

RN 247052-88-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propynyl ester (9CI) (CA INDEX NAME)

RN 247052-89-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247052-90-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propynyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247052-91-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-5-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247052-92-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 247052-93-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 247052-94-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $N \rightarrow NH$ 
 $C-O-CH_2-CH=CH_2$ 
 $O$ 

RN 247052-95-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $C1$ 
 $C1$ 
 $C-O-CH_2-CH=CH_2$ 
 $CH_2$ 

RN 247052-96-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247052-97-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Me 
$$C-O-CH_2-CH=CH_2$$

HCl

RN 247052-98-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-chloro-4-(2-chlorophenyl)-1,4-dihydro-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247052-99-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-3-pyridinyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-00-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromo-3-pyridinyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-01-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-fluoro-3-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-02-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-fluoro-3-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-03-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-fluoro-3-(trifluoromethyl)phenyl]-1,4-

dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

● HCl

RN 247053-04-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2,3-bis(trifluoromethoxy)phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247053-05-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2,3-bis(trifluoromethoxy)phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-06-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-fluoro-3-(trifluoromethyl)phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247053-07-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-[(2-hydroxyethyl)thio]-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-08-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-09-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-10-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247053-11-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-3-nitrophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247053-12-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-[(2-chlorophenyl)thio]phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-13-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-[(2-chlorophenyl)thio]phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-14-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-[(2-chlorophenyl)thio]phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 247053-15-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[2-[(2-chlorophenyl)thio]phenyl]-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester, monohydrochloride (9CI)

(CA INDEX NAME)

● HCl

RN 247053-16-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3,4-dichlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 247053-17-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-18-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(3-nitrophenyl)-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247053-19-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-(2-methoxyphenyl)-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-20-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, 2-cyanoethyl ester (9CI) (CA INDEX NAME)

Me 
$$C1$$
 $N$ 
 $NH$ 
 $C-O-CH_2-CH_2-CN$ 
 $0$ 

RN 247053-21-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-furanyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-22-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 247053-23-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)

RN 247053-24-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-(2-hydroxyphenyl)-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 247078-29-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, (-)- (9CI) (CA INDEX NAME)

RN 247078-30-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, (+)- (9CI) (CA INDEX NAME)

RN 247078-31-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester, (-)- (9CI) (CA INDEX NAME)

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     ANSWER 24 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     1999:684290 CAPLUS
     131:286535
DΝ
TI
     Preparation of 2-pyridyldihydropyrimidine-5-carboxylates as hepatitis B
     antiviral agents
     Stoltefuss, Juergen; Goldmann, Siegfried; Paessens, Arnold; Graef, Erwin;
IN
     Lottmann, Stefan
PA
     Bayer A.-G., Germany
SO
     Ger. Offen., 46 pp.
     CODEN: GWXXBX
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                                              NO 2004-5259
     NO 2004005259
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     WO 1999-EP2344
                                  19990407
                           W
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                                 20001018 -
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     MARPAT 131:286535
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AB Title compds. [I; R1 = (un)substituted Ph, -furyl, pyridyl, etc.; R2 = H, OH, (di)(alkyl)amino(oxy), hydrocarbyl(oxy), etc.; R3 = CHO, CH(OMe)2, hydrocarbyl, pyridyl, etc.; R4 = H, alk(en)yl, COPh, acyl; R5 = (un)substituted pyridyl) were prepared as hepatitis B antiviral agents (no

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data). Thus, 2-amidino-3-fluoropyridine (preparation given) was cyclocondensed
    with MeCOCH2CO2Et and 2-BrC6H4CHO to give I (R1 = C6H4Br-2, R2 = OEt, R3 =
    Me, R4 = N, R5 = 3-fluoro-2-pyridyl).
IT
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    246872-29-7P 246872-30-0P 246872-31-1P
    246872-32-2P 246872-33-3P 246872-34-4P
    246872-35-5P 246872-36-6P 246872-37-7P
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    246872-66-2P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 2-pyridyldihydropyrimidine-5-carboxylates as hepatitis B
       antiviral agents)
    246872-26-4 CAPLUS
RN
CN
     5-Pyrimidinecarboxylic acid, 4-(2-bromophenyl)-2-(3-fluoro-2-pyridinyl)-
     1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)
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RN 246872-27-5 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-[5-(trifluoromethyl)-2-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-28-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-29-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-30-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-31-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(6-methyl-2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-32-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-33-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 6-amino-4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-34-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-(3-phenyl-5-quinolinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-35-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-36-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-37-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-phenyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \parallel & Me \\ \hline MeO-C & N & N \\ Ph & M & F \\ \end{array}$$

RN 246872-38-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)1,4-dihydro-6-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX
NAME)

● HCl

RN 246872-39-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,6-difluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-40-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-4-[2-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-41-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,6-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-42-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,6-dichlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-43-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-44-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-45-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-46-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(5-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-47-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

RN 246872-48-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, 2-phenoxyethyl ester (9CI) (CA INDEX NAME)

RN 246872-49-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-4-(4-iodophenyl)-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-50-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-6-cyclopropyl-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-51-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-52-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-3-nitrophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-53-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-bromophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-54-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-55-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-56-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-hydroxyphenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-58-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 246872-59-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-60-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-61-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-chloro-2-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-62-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-fluoro-2-methylphenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-63-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-fluoro-2-pyridinyl)-1,4-dihydro-4-(2-iodophenyl)-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 246872-64-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-bromo-2-fluorophenyl)-2-(3-chloro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 246872-65-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-fluorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 246872-66-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-2-(3-fluoro-2-pyridinyl)-1,4-dihydro-6-methyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

ANSWER 25 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

L4

```
AN
     1999:48708 CAPLUS
DN
     130:125083
     Preparation of dihydropyrimidinecarboxylates as N-type neuronal calcium
ΤI
     channel antagonists.
IN
     Downs, Eileen S.; Michne, William F.; Pierson, M. Edward, Jr.
PΑ
     Astra Aktiebolag (Publ), Swed.
SO
     PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                         ____
PΙ
    WO 9901438
                         A1
                               19990114 WO 1998-SE1208
                                                                  19980622
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
     ZA 9805323
                         Α
                                19990111
                                            ZA 1998-5323
                                                                   19980618
     CA 2294746
                         AA
                                19990114
                                            CA 1998-2294746
                                                                   19980622
    AU 9882499
                         A1
                                19990125
                                            AU 1998-82499
                                                                   19980622
     EP 993450
                         A1
                                20000419
                                           EP 1998-932675
                                                                   19980622
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002508780
                         Т2
                                20020319
                                            JP 1999-507008
                                                                   19980622
     US 6218538
                         В1
                                20010417
                                            US 1998-171174
                                                                   19981014
PRAI SE 1997-2564
                         Α
                                19970702
     WO 1998-SE1208
                         W
                                19980622
os
    MARPAT 130:125083
AΒ
     Title compds. [I; R1 = (substituted) (hetero)aryl; R2 = (unsatd.) alkyl
     substituted by Ph, heteroaryl; R3 = mono- or bicyclic (substituted)
     (hetero)aryl; R4, R5 = H, alkyl, PhCH2; NR4R5 = piperidinyl, morpholinyl,
     3,4-dehydropiperidinyl, thiomorpholinyl, perhydroazepinyl, pyrrolidinyl,
     (substituted) piperazinyl; X = 0, S, NR6; R6 = H, alkyl; n = 2-4], were
    prepared for treatment of, e.g., cerebrovascular ischemia and pain (no
     data). Thus, 4-[2-(1-piperidinyl)ethoxy]acetoacetate (preparation given),
     3,4-dichlorobenzaldehyde, and 2-amidinothiophene hydrochloride (preparation
     given) were stirred with NaHCO3 in DMF at 60° for 4 days to give
     3-phenylpropyl 4-(3,4-dichlorophenyl)-6-[[2-(1-piperidinyl)ethoxy]methyl]-
     2-(2-thienyl)-1,4-dihydropyrimidine-5-carboxylate.
IT
     219795-96-7P 219795-97-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of dihydropyrimidinecarboxylates as N-type neuronal calcium
       channel antagonists)
     219795-96-7 CAPLUS
RN
CN
     5-Pyrimidinecarboxylic acid, 4-(3,4-dichlorophenyl)-1,4-dihydro-6-[[2-(1-
     piperidinyl)ethoxy]methyl]-2-(4-pyridinyl)-, 3-phenylpropyl ester (9CI)
     (CA INDEX NAME)
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RN 219795-97-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(3,4-dichlorophenyl)-1,4-dihydro-6-[[2-(1-piperidinyl)ethoxy]methyl]-2-(2-pyridinyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

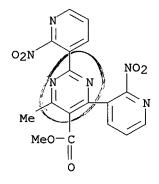
## 10/781,075

- L4 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1996:229176 CAPLUS
- DN 125:33447
- TI Synthesis and reactions of 3'-azanifedipine
- AU Goerlitzer, K.; Ewert, H.-J.
- CS Inst. Pharmazeutische Chem., Technischen Univ. Braunschweig, Germany
- SO Pharmazie (1996), 51(4), 207-12 CODEN: PHARAT; ISSN: 0031-7144
- PB Govi-Verlag Pharmazeutischer Verlag
- DT Journal
- LA German
- AB Nicotinaldehydes reacted with Me acetoacetate and NH3 to yield the dihydropyridines (DHP) I (R = Cl, NO2; R1, R4 = H; R2R3 = bond), the isomeric 1,2-DHP II (R1, R2 = H) and the tetrahydropyrimidines (THPM) III. The corresponding heteroaroms. were obtained by cerium(IV)-oxidation Reduction of the NO2 group in the bipyridines I (R = NO2; R1R2, R3R4 = bond) and II (R = NO2, R1R2 = bond) with Zn/NH4Cl leads to the cyclic hydroxamic acids, while with SnCl2/HCl the lactames are isolated. Irradiation of I (R = NO2; R1, R4 = H; R2R3 = bond) with UV-A light yields the nitroso bipyridine. The latter cyclizes to form the hydroxamic acid when treated with HCl. Subsequent reduction with PCl3 gave the lactam. The half wave potentials of the DHP and THPM were determined by anodic oxidation by difference pulse voltammetry with nifedipine as reference
- IT 177857-69-1P 177857-70-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and reactions of 3'-azanifedipine)

RN 177857-69-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-2,6-bis(2-nitro-3-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



Not, 4-dilydre

RN 177857-70-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-bis(2-chloro-3-pyridinyl)-6-methyl-, methyl ester (9CI) (CA INDEX NAME)

L4ANSWER 27 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

1993:169117 CAPLUS AN

DN 118:169117

Preparation of 4-(imidazolin-5-on-2-yl)pyrimidine-5-carboxylates as ΤI

IN Seitz, Thomas; Luerssen, Klaus; Santel, Hans Joachim; Schmidt, Robert R.

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 50 pp. CODEN: EPXXDW

Patent DT

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	EP 517052	<b>A</b> 1	19921209	EP 1992-108733	19920523
	R: BE, CH, DE,	DK, ES	, FR, GB, IT	, LI, NL	
	DE 4118720	A1	19921210	DE 1991-4118720	19910607
	US 5244865	Α	19930914	US 1992-891493	19920529
	AU 9217326	A1	19921210	AU 1992-17326	19920601
	JP 05194493	A2	19930803	JP 1992-165303	19920601
	BR 9202151	Α	19930126	BR 1992-2151	19920605
	ZA 9204101	Α	19930224	ZA 1992-4101	19920605
	CA 2070717	AA	19921208	CA 1992-2070717	19920608
PRAI	DE 1991-4118720	Α	19910607		
OS	MARPAT 118.169117				

MARPAT 118:169117

Title compds. [I; R1 = H, halo, cyano, NO2, SCN, (substituted) OH, SH, AB amino, CO, SO, SO2, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl; R2 = H, OH, halo, (halo)alkyl; R3 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, cation; R4, R5 = H, (halo)alkyl, cycloalkyl; X = O, S], were prepared as herbicides (no data). Thus, di-Et  $\alpha$ -methylpyrimidin-4,5dicarboxylate was heated with H2NCOCMe(NH2)CHMe2 and KOCMe3 in PhMe at 80° for 16 h to give title compound II. II was said to show superior herbicidal activity/crop plant tolerance both pre- and postemergent.

IT 146380-65-6P 146380-67-8P 146381-18-2P 146381-19-3P 146381-20-6P 146381-21-7P 146381-22-8P 146381-23-9P 146381-24-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 146380-65-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5oxo-1H-imidazol-2-yl]-2-(2-pyridinyl)-(9CI) (CA INDEX NAME)

RN 146380-67-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5oxo-1H-imidazol-2-yl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 146381-18-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 146381-19-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 146381-20-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(2-pyridinyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & N & N & N \\
 & N & N & N & N \\
 & Me & H & N & N
\end{array}$$

$$H_2C = CH - CH_2 - O - C \\
 & 0 & 0 & 0 & 0 \\
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RN 146381-21-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(2-pyridinyl)-, 2-propynyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{i-Pr} & \text{N} & \text{N} \\
 & \text{Me} & \text{H} & \text{N} & \text{N}
\end{array}$$

RN 146381-22-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(3-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)

RN 146381-23-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(3-pyridinyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & N & N \\
 & N & N & N \\
 & Me & H & N \\
 & H_2C = CH - CH_2 - O - C \\
 & O & O & O & O \\
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RN 146381-24-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-(3-pyridinyl)-, 2-propynyl ester (9CI) (CA INDEX NAME)

- L4 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 1993:147531 CAPLUS
- DN 118:147531
- TI Studies on cerebral protective agents. II. Novel 4-arylpyrimidine derivatives with anti-anoxic and anti-lipid peroxidation activities (2)
- AU Kuno, Atsushi; Sugiyama, Yoshie; Katsuta, Kiyotaka; Sakai, Hiroyoshi; Takasuqi, Hisashi
- CS New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
- SO Chemical & Pharmaceutical Bulletin (1992), 40(9), 2423-31 CODEN: CPBTAL; ISSN: 0009-2363
- DT Journal
- LA English
- AB In a search for new cerebral protective agents with anti-anoxic (AA) and anti-lipid peroxidn. (ALP) activities, a series of 4-arylpyrimidines, I [R = CONHCH2NMe2, CONH(CH2)2NMe2, CONH(CH2)2NEt2, CONH(CH2)3NMe2, R3 = Me, Et, CF3, N, R4 = Ph, X = 3-, 4-NO2; R = CONR1R2, NR1R2 = NH(CH2)2OH, 1-piperazinyl, NHCH2CH2NMeCH2Ph, etc., R3 = Me, R4 = Ph, X = 3-NO2; R = COR5, R3 = Me, Et, H, CF3, R4 = Ph, 4-ClC6H4, 4-MeOC6H4, etc., R5 = 4-methyl-1-piperazinyl, X = 2-, 3-, 4-NO2, 3-cyano, 3-Cl], bearing an amino moiety in the C-5 position of the pyrimidine nucleus, was synthesized and tested for AA and ALP activities. Thus, I (R = CO2H, R3 = Me, CF3, H, Et, R4 = Ph, 4-ClC6H4, X = 3-, 4-NO2) (II) were treated with amines to give the corresponding amides. II were prepared in several steps from R3COCH2CO2R and 3- and 4-O2NC6H4CHO. Among the prepared compds., I (R = CONR1R2, NR1R2 = 4-methyl-1-piperazinyl, R3 = Me, R4 = Ph, X = 3-NO2) was most effective on both assays and on arachidonate-induced cerebral edema in rats. Structure-activity relationships in regard to AA activity of this series of compds. are also discussed.
- IT 103312-16-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, anti-anoxia, and lipid peroxidn. activity of)

RN 103312-16-9 CAPLUS

CN Piperazine, 1-methyl-4-[[4-methyl-6-(3-nitrophenyl)-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:583341 CAPLUS

DN 115:183341

TI Preparation of substituted 2,4-diarylpyrimidines as herbicides

IN Prisbylla, Michael P.

PA ICI Americas, Inc., USA

SO U.S., 14 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5022915	Α	19910611	US 1990-487334	19900301
PRAI US 1990-487334		19900301		

OS MARPAT 115:183341

AB Title compds. (I; R = Q1-Q4; R1, R2 = H, Br, Cl, F, cyano, CF3, OCF3; R3 = H, alkyl, CO2Me, CO2Et, CH2Cl, CH2OMe, CH:CH2, alkylthio, alkoxy; R4 = H, OH, alkyl, alkylthio, alkoxy; R5 = H, F), were prepared Thus, 1-(3-trifluoromethylphenyl)-2-(N,N-dimethylaminomethylene)-1-butanone, benzamidine hydrochloride, and Na2CO3 were refluxed 6 h in EtOH to give 40% title compound I (R = Ph, R3 = Et, R4 = R5 = H). I at 4 lb/acre preemergent gave 70-100% control of grasses.

IT 136433-01-7P 136433-12-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 136433-01-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-pyridinyl)-4-[3-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 136433-12-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-pyridinyl)-4-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 30 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

L4

RN

103293-18-1 CAPLUS

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ΑN
     1986:572492 CAPLUS
DN
    105:172492
ΤI
     Pyrimidine derivatives
     Takaya, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie
IN
PΑ
     Fujisawa Pharmaceutical Co., Ltd., Japan
     Eur. Pat. Appl., 192 pp.
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
                         ____
     EP 169712
                          A2
                                 19860129
                                             EP 1985-305143
                                                                     19850718
PΙ
     EP 169712
                          А3
                                 19861203
                         В1
     EP 169712
                                 19901212
         R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     US 4698340
                          Α
                                 19871006
                                             US 1985-753912
                                                                     19850711
     ZA 8505369
                          Α
                                 19860625
                                             ZA 1985-5369
                                                                     19850716
                      A 19860120
A 19860120
A 19860120
A1 19861016
E 19901215
A1 19860123
A2 19860226
B4 19940629
A 19880223
A 19860528
A 19860402
A2 19860409
A3 19861126
                         Α
                                             FI 1985-2796
                                                                     19850717
     FI 8502796
                                 19860120
                                             DK 1985-3279
                                                                     19850718
     DK 8503279
                                 19860120
19861016
     NO 8502869
                                             NO 1985-2869
                                                                     19850718
     ES 545347
                                             ES 1985-545347
                                                                     19850718
                                 19901215
    AT 59034
                                             AT 1985-305143
                                                                     19850718
                                19860123
                                             AU 1985-45202
                                                                     19850719
    AU 8545202
     JP 61040272
                                19860226
                                             JP 1985-160784
                                                                     19850719
     JP 06049688
     US 4727073
                                19880223
                                                                     19850923
                                             US 1985-779043
                                             ZA 1985-7359
                                                                     19850924
     ZA 8507359
                                19860528
                                             FI 1985-3698
                                                                     19850926
     FI 8503698
                                 19860402
                 EP 1985-306880
                                                                     19850927
     EP 177287
                                 19860409
     EP 177287
                                 19861126
     EP 177287
                                 19901205
         R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
                                             AT 1985-306880
     AT 58897
                                 19901215
                                                                     19850927
     DK 8504436
                                             DK 1985-4436
                                                                    19850930
                                 19860402
     NO 8503853
                                             NO 1985-3853
                                                                    19850930
                                 19860402
                                             ES 1985-547419
                                                                    19850930
     ES 547419
                                 19870316
     AU 8548143
                                 19860410
                                             AU 1985-48143
                                                                     19851001
                                             JP 1985-219010
     JP 61087669
                                 19860506
                                                                     19851001
PRAI GB 1984-18380
                                 19840719
     GB 1984-24711
                                 19841001
     GB 1985-9623
                                 19850415
     GB 1985-9633
                                 19850415
     EP 1985-305143
                          Α
                                 19850718
     EP 1985-306880
                          Α
                                 19850927
os
     MARPAT 105:172492
     Pyrimidines I (R = substituted aryl; R1 = CO2H, esterified CO2H, alkanoyl,
AB
     etc.; R2 = H, aryl, alkyl, etc.; R3 = alkyl, aryl) were prepared, and they
     showed their usefulness in the treatment of cerebrovascular diseases.
     Dihydropyrimidine derivative II was heated with MnO2 to give I (R = 4-pyridyl,
     R1 = CO2Et, R2 = Me, R3 = Ph). II was prepared from an \alpha-
     (arylmethylene) acetoacetate ester and an amidine.
IT
     103293-18-1P 103293-25-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reaction of)
```

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-5-nitrophenyl)-1,4-dihydro-6-methyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 103293-25-0 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-6-methyl-4-(3-nitrophenyl)-2-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

- IT 103294-05-9P 103294-37-7P 103294-38-8P
  - 103311-88-2P 103311-90-6P 103312-08-9P
  - 103312-12-5P 103312-15-8P 103312-16-9P
  - 103312-18-1P 103312-19-2P 103312-24-9P
  - RL: SPN (Synthetic preparation); PREP (Preparation)
    - (preparation of, for treatment of cerebrovascular disease)
- RN 103294-05-9 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(3-nitrophenyl)-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 103294-37-7 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-(4-nitrophenyl)-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 103294-38-8 CAPLUS

CN Ethanone, 1-(2,4-di-4-pyridinyl-5-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 103311-88-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chloro-5-nitrophenyl)-6-methyl-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 103311-90-6 CAPLUS

CN Pyridinium, 3-[5-(ethoxycarbonyl)-4-methyl-6-[2-(methylthio)-5-nitrophenyl]-2-pyrimidinyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

• I-

RN 103312-08-9 CAPLUS

CN Piperazine, 1-methyl-4-[[4-methyl-6-(4-nitrophenyl)-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 103312-12-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-(dimethylamino)ethyl]-4-methyl-6-(4-nitrophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NO2} \\ \hline \\ N & \\ C-\text{NH}-\text{CH}_2-\text{CH}_2-\text{NMe}_2 \\ \hline \\ Me & \\ O & \\ \end{array}$$

RN 103312-15-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-(dimethylamino)ethyl]-4-methyl-6-(3-nitrophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & & & \\
N & & & \\
NO_2 & & \\
C-NH-CH_2-CH_2-NMe_2 \\
Me & O & & \\
\end{array}$$

RN 103312-16-9 CAPLUS

CN Piperazine, 1-methyl-4-[[4-methyl-6-(3-nitrophenyl)-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 103312-18-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(3-nitrophenyl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 103312-19-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-(4-nitrophenyl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 103312-24-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[2-(methylthio)-5-nitrophenyl]-2-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1984:455110 CAPLUS

DN 101:55110

TI Dihydropyrimidines useful in treating circulatory ailments

IN Stoltefuss, Juergen; Boeshagen, Horst; Schramm, Matthias; Thomas, Guenter

PA Bayer A.-G., Fed. Rep. Ger.

SO Ger. Offen., 40 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

11400	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<del>-</del>		
ΡI	DE 3234684	A1	19840322	DE 1982-3234684	19820918
	US 4822798	Α	19890418	US 1983-526931	19830826
	EP 103796	A2	19840328	EP 1983-108692	19830903
	EP 103796	A3	19850703		
	EP 103796	B1	19871111		
	R: AT, BE, CH,	DE, FR	, GB, IT, LI	, NL, SE	
	AT 30720	E	19871115	AT 1983-108692	19830903
	JP 59073572	A2	19840425	JP 1983-168503	19830914
	JP 06013484	В4	19940223		
	ES 525692	A1	19850401	ES 1983-525692	19830916
	CA 1250575	A1	19890228	CA 1983-436914	19830916
PRAI	DE 1982-3234684	Α	19820918		
	EP 1983-108692	Α	19830903		
ΩG	CACDEACT 101.55110				

OS CASREACT 101:55110

AB Antihypertensive and coronary vasodilating (no data)

dihydropyrimidinecarboxylates I [R = (un)substituted Ph; R2 =

(un) substituted, (un) saturated aliphatic, aromatic, heterocyclyl; R1,R3 =

H,R2] were

prepared Thus, PhC(:NH)NH2.HCl was cyclocondensed with 2-

O2NC6H4CH:C(COMe)CO2Et by refluxing in EtOH with NaOAc to give 55.71% I (R = 2-O2NC6H4, R1 = Et, R2 = Me, R3 = Ph).

IT 90961-40-3P 90961-41-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 90961-40-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 90961-41-4 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-chlorophenyl)-1,4-dihydro-6-methyl-2-(2-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 12:52:56 ON 12 JUN 2006)

FILE 'REGISTRY' ENTERED AT 12:53:05 ON 12 JUN 2006

L1 STRUCTURE UPLOADED

L2 4 S L1 SSS SAM

L3 597 S L1 SSS FUL

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L4 31 S L3

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L5 0 L3

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.44 327.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

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CA SUBSCRIBER PRICE 0.00 -23.25

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